

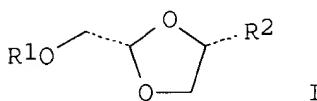
09/976,249

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L5 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:293644 CAPLUS
DOCUMENT NUMBER: 136:295024
TITLE: Preparation of dioxolane nucleoside analogs for improved inter-cellular delivery
INVENTOR(S): Attardo, Giorgio
PATENT ASSIGNEE(S): Shire Biochem Inc., Can.; Zacharie, Boulos; Rej, Rabindra; Lavallee, Jean-Francois; Vaillancourt, Louis; Denis, Real; Levesque, Sophie
SOURCE: PCT Int. Appl., 273 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| WO 2002030922 | A2 | 20020418 | WO 2001-CA1464 | 20011015 |
| WO 2002030922 | A3 | 20020926 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002012015 | A5 | 20020422 | AU 2002-12015 | 20011015 |
| US 2003013660 | A1 | 20030116 | US 2001-976249 | 20011015 |
| PRIORITY APPLN. INFO.: | | | US 2000-239885P | P 20001013 |
| | | | US 2001-288424P | P 20010504 |
| | | | WO 2001-CA1464 | W 20011015 |

OTHER SOURCE(S): MARPAT 136:295024
GI



AB Dioxolane nucleosides analogs I wherein: R1 is H, alkyl, alkenyl, aryl, heteroarom. ring, non-arom. ring, phosphate; R2 is substituted nucleobase, or peptide-contg. nucleobase; are useful in treating a patient having cancer. Thus, 4-hexyl-benzoic acid 4-(4-amino-2-oxo-2H-pyrimidin-1-yl)-[1,3]dioxolan-2-yl-Me ester was prep'd. and its cellular uptake by and nucleobase transporter (NT) proteins inhibition encoded by the the genes hCNT1, hCNT2, and hCNT3, are reported.

IT 145416-35-9P 408342-12-1P 408342-20-1P
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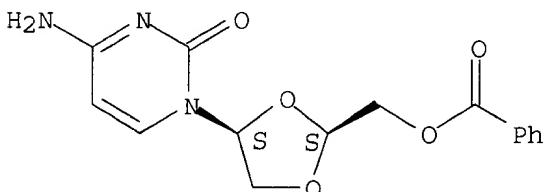
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of dioxolane nucleoside analogs for improved intercellular delivery)

RN 145416-35-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 408342-12-1 CAPLUS

CN 4-Piperidineacetic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl)methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

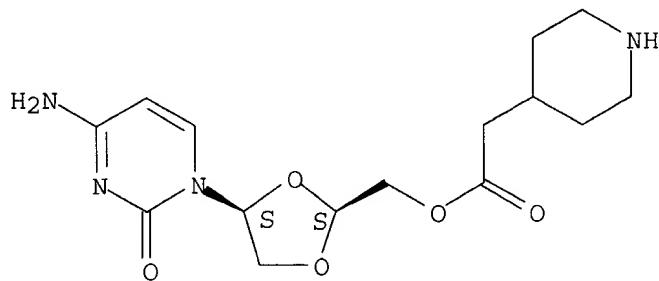
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CRN 408342-11-0

CMF C15 H22 N4 O5

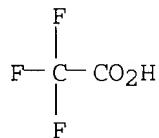
Absolute stereochemistry.

09/976,249



CM 2

CRN 76-05-1
CMF C2 H F3 O2

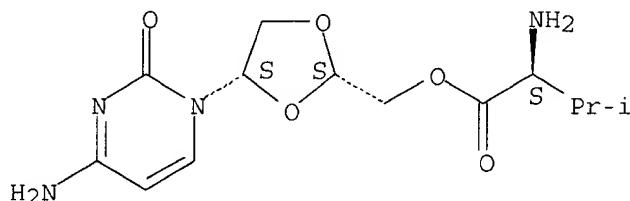


RN 408342-20-1 CAPLUS
CN L-Valine, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

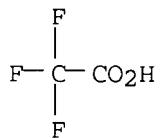
CRN 408342-19-8
CMF C13 H20 N4 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

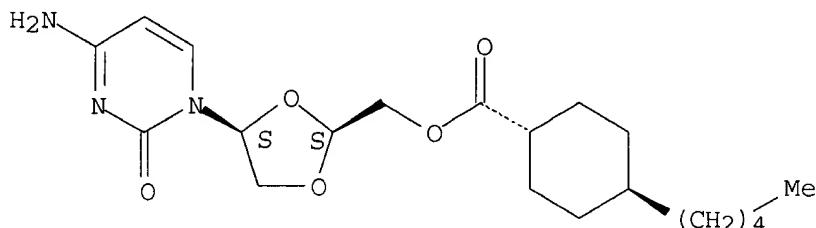


RN 408342-34-7 CAPLUS

09/976,249

CN Cyclohexanecarboxylic acid, 4-pentyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

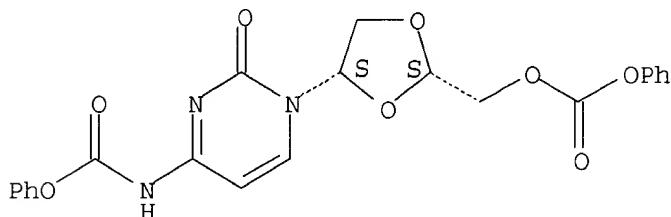


● HCl

RN 408342-36-9 CAPLUS

CN Carbonic acid, [(2S,4S)-4-[2-oxo-4-[(phenoxy carbonyl)amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl phenyl ester (9CI) (CA INDEX NAME)

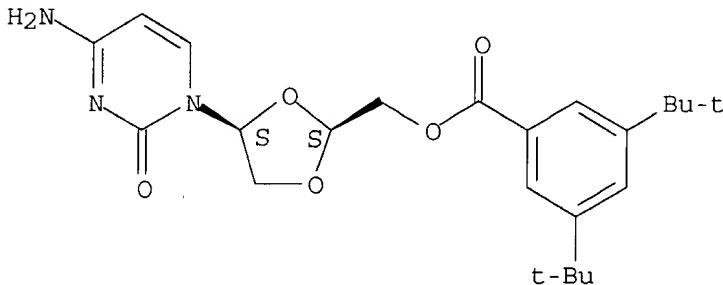
Absolute stereochemistry.



RN 408342-37-0 CAPLUS

CN Benzoic acid, 3,5-bis(1,1-dimethyl ethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

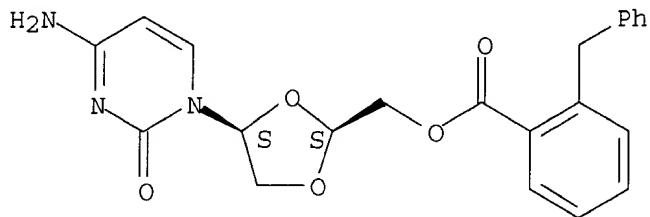


RN 408342-38-1 CAPLUS

CN Benzoic acid, 2-(phenylmethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

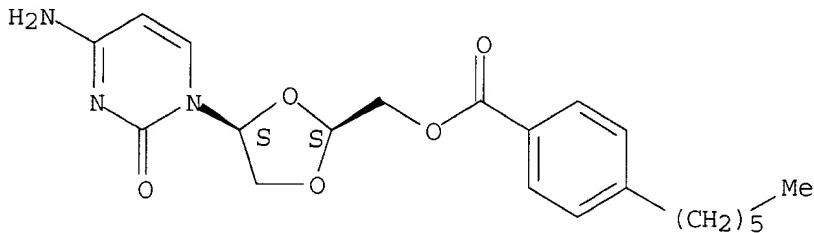
09/976,249



RN 408342-40-5 CAPLUS

CN Benzoic acid, 4-hexyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

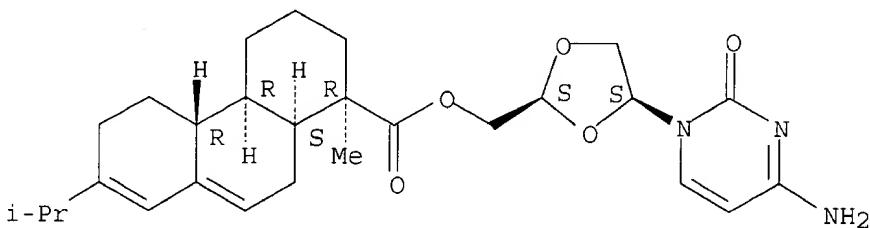
Absolute stereochemistry.



RN 408342-42-7 CAPLUS

CN 1-Phenanthrenecarboxylic acid, 1,2,3,4,4a,4b,5,6,10,10a-decahydro-1-methyl-7-(1-methylethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, (1R,4aR,4bR,10aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

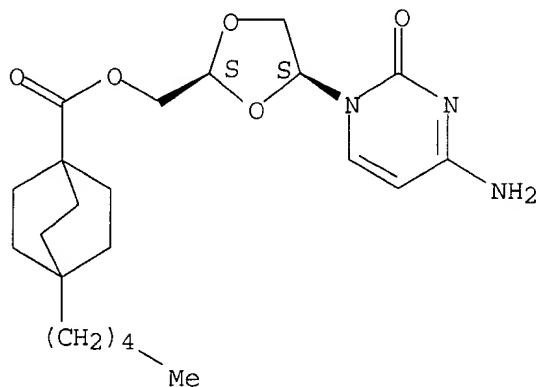


RN 408342-44-9 CAPLUS

CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-pentyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

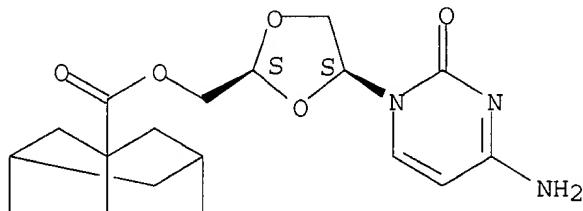
09/976, 249



RN 408342-46-1 CAPLUS

CN 2,5-Methanopentalene-3a(1H)-carboxylic acid, hexahydro-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

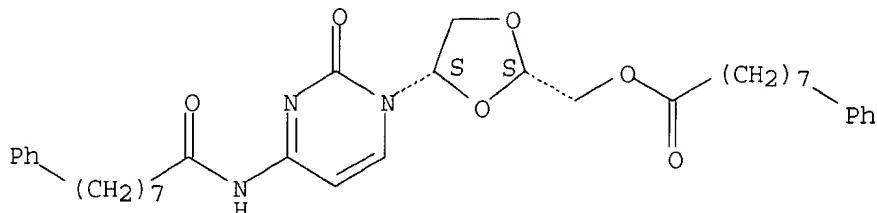
Absolute stereochemistry.



RN 408342-47-2 CAPLUS

CN Benzeneoctanoic acid, [(2S,4S)-4-[2-oxo-4-[(1-oxo-8-phenyloctyl)amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

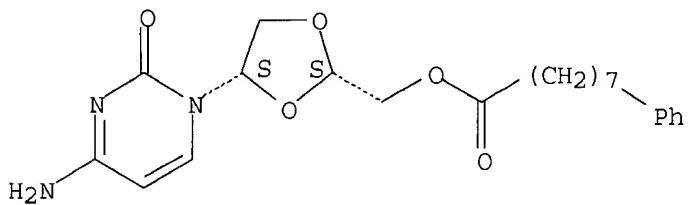


RN 408342-49-4 CAPLUS

CN Benzeneoctanoic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

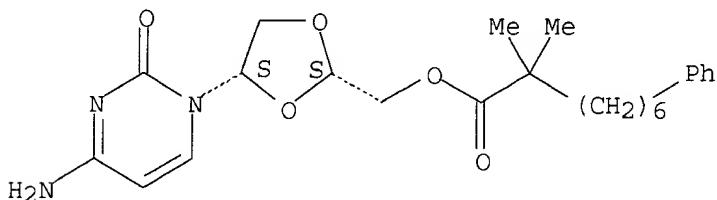
09/976, 249



RN 408342-53-0 CAPLUS

CN Benzeneoctanoic acid, .alpha.,.alpha.-dimethyl-, [(2S,4S)-4-[(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

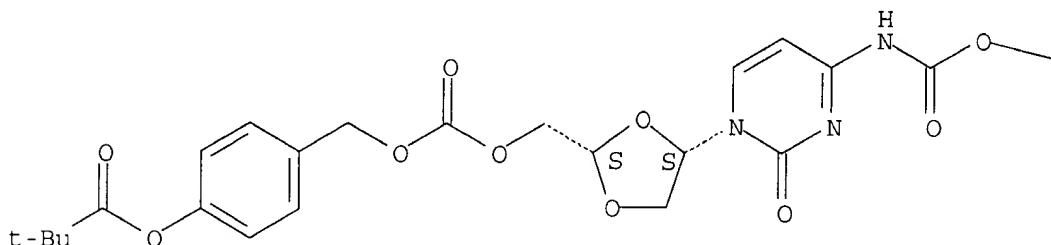


RN 408342-67-6 CAPLUS

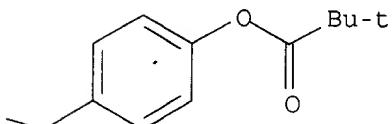
CN Propanoic acid, 2,2-dimethyl-, 4-[[[[[(2S,4S)-4-[(4-(2,2-dimethyl-1-oxopropoxy)phenyl)methoxy]carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methoxy]carbonyl]oxy]methyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B



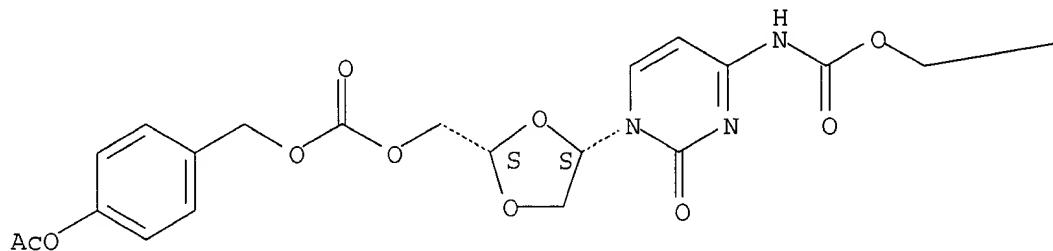
RN 408342-68-7 CAPLUS

CN Carbonic acid, [(2S,4S)-4-[[[[4-(acetyloxy)phenyl]methoxy]carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl [4-(acetyloxy)phenyl]methyl ester (9CI) (CA INDEX NAME)

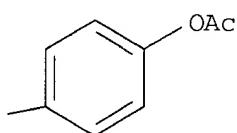
Absolute stereochemistry.

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PAGE 1-A



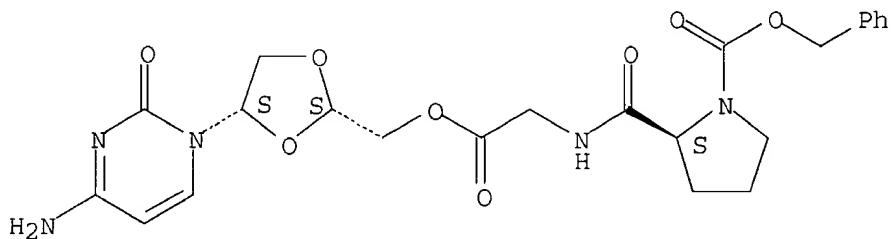
PAGE 1-B



RN 408342-69-8 CAPLUS

CN Glycine, 1-[(phenylmethoxy)carbonyl]-L-prolyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

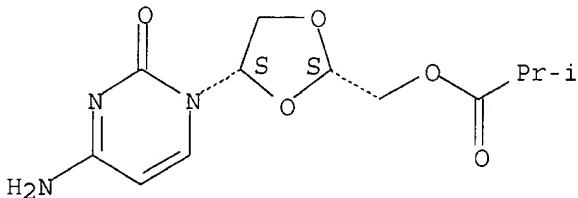
Absolute stereochemistry.



RN 408342-70-1 CAPLUS

CN Propanoic acid, 2-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

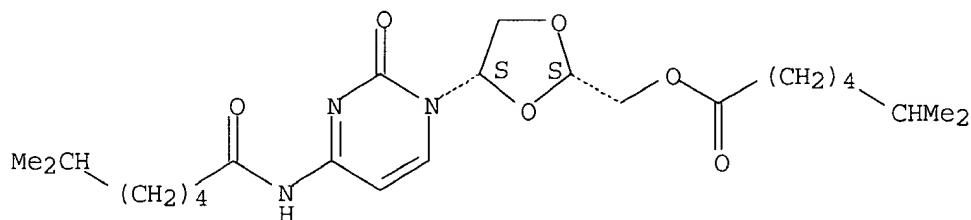


RN 408342-71-2 CAPLUS

CN Heptanoic acid, 6-methyl-, [(2S,4S)-4-[4-[(6-methyl-1-oxoheptyl)amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

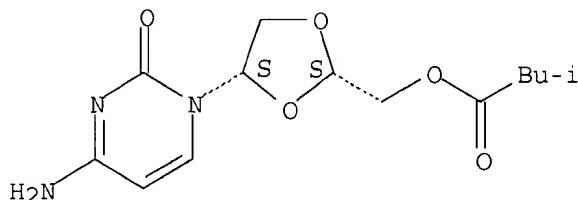
09/976, 249



RN 408342-73-4 CAPLUS

CN Butanoic acid, 3-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

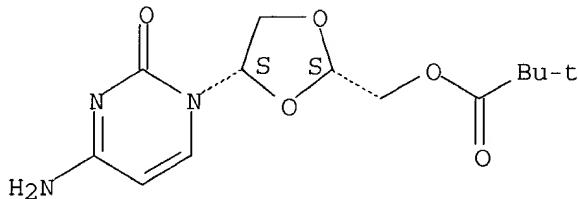
Absolute stereochemistry.



RN 408342-74-5 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

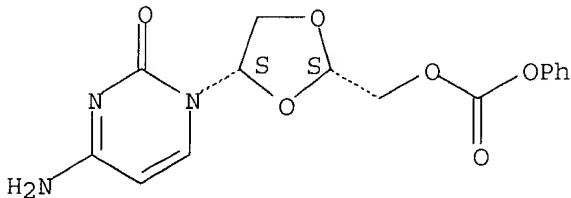
Absolute stereochemistry.



RN 408342-78-9 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

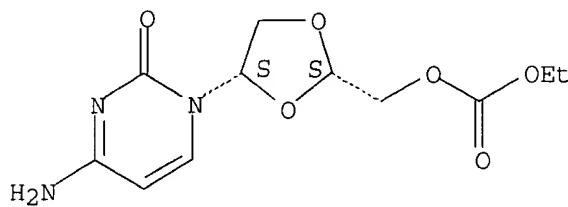


RN 408342-81-4 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

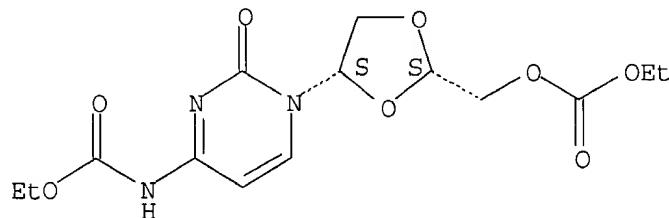
09/976,249



RN 408342-82-5 CAPLUS

CN Carbonic acid, [(2S,4S)-4-[(ethoxycarbonyl)amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-ylmethyl ethyl ester (9CI) (CA INDEX NAME)

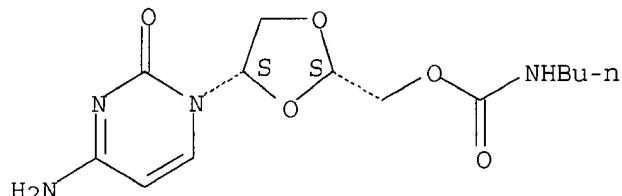
Absolute stereochemistry.



RN 408342-83-6 CAPLUS

CN Carbamic acid, butyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-ylmethyl ester (9CI) (CA INDEX NAME)

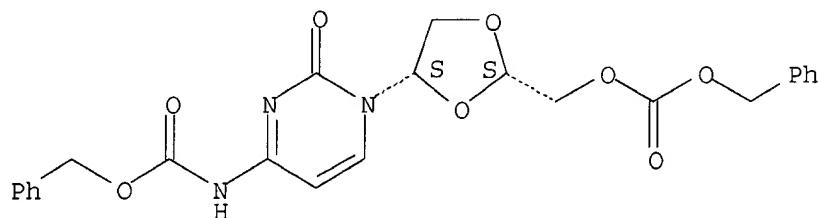
Absolute stereochemistry.



RN 408342-85-8 CAPLUS

CN Carbonic acid, [(2S,4S)-4-[2-oxo-4-[(phenylmethoxy)carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-ylmethyl phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

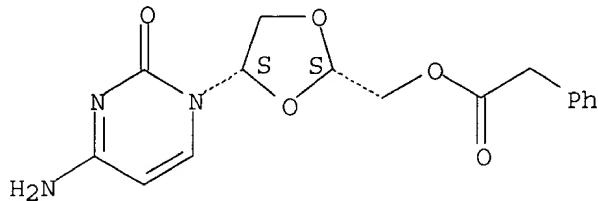


RN 408342-86-9 CAPLUS

CN Benzeneacetic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-ylmethyl ester (9CI) (CA INDEX NAME)

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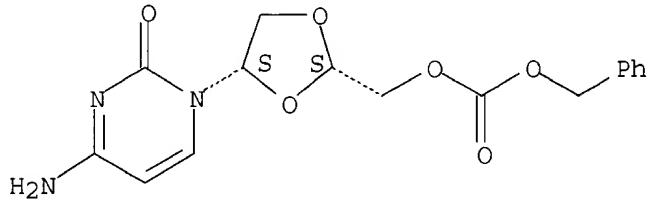
Absolute stereochemistry.



RN 408342-91-6 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl)methyl phenylmethyl ester (9CI) (CA INDEX NAME)

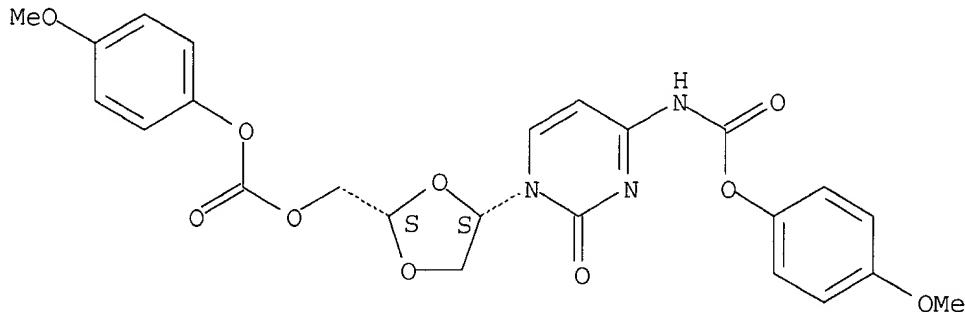
Absolute stereochemistry.



RN 408342-97-2 CAPLUS

CN Carbonic acid, [(2S,4S)-4-[4-[(4-methoxyphenoxy)carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl)methyl 4-methoxyphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

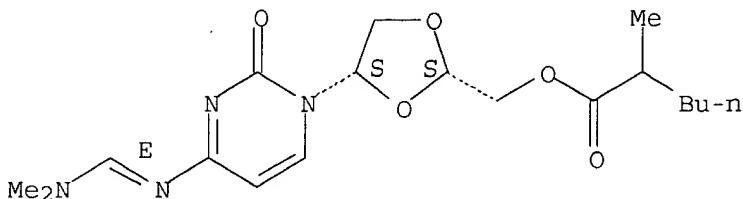


RN 408342-98-3 CAPLUS

CN Hexanoic acid, 2-methyl-, [(2S,4S)-4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



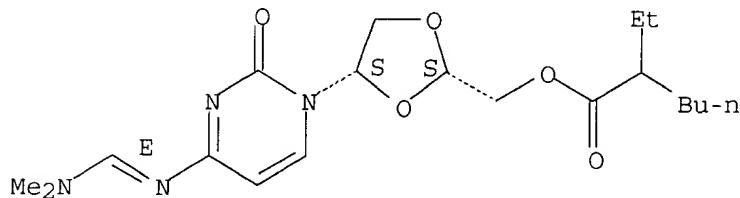
09/976, 249

RN 408342-99-4 CAPLUS

CN Hexanoic acid, 2-ethyl-, [(2S,4S)-4-[(E)-[(dimethylamino)methylene]amin o]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



RN 408343-01-1 CAPLUS

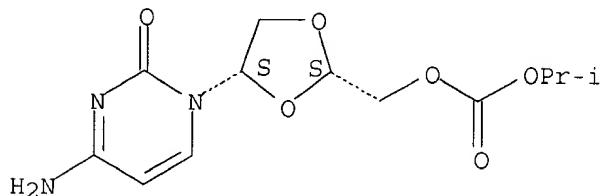
CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl 1-methylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 408343-00-0

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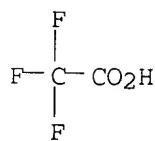
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

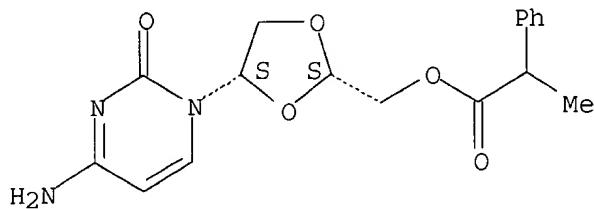


RN 408343-04-4 CAPLUS

CN Benzeneacetic acid, .alpha.-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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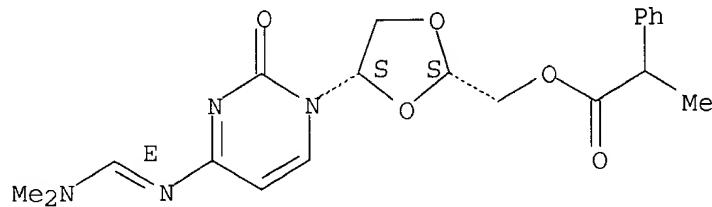


RN 408343-05-5 CAPLUS

CN Benzeneacetic acid, .alpha.-methyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

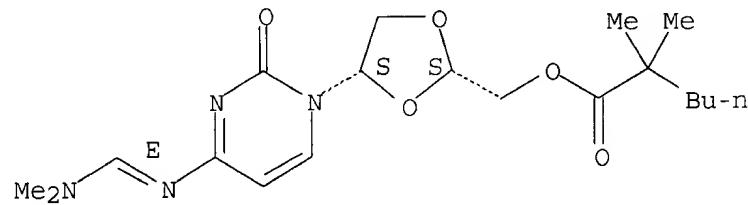


RN 408343-07-7 CAPLUS

CN Hexanoic acid, 2,2-dimethyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



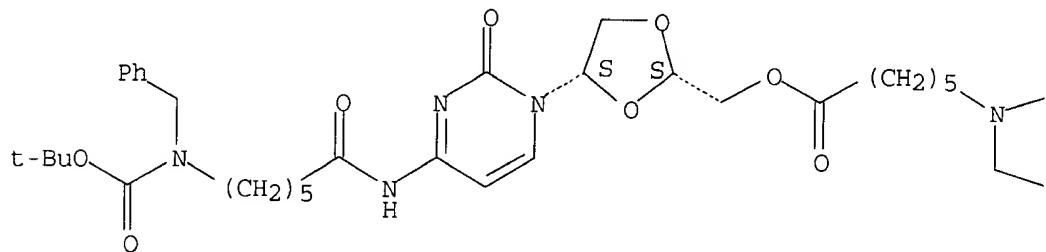
RN 408343-14-6 CAPLUS

CN Hexanoic acid, 6-[[[(1,1-dimethylethoxy)carbonyl]phenylmethylamino]-[(2S,4S)-4-[4-[[6-[(1,1-dimethylethoxy)carbonyl]phenylmethylamino]-2-oxohexyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

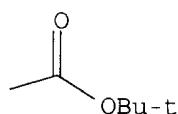
Absolute stereochemistry.

09/976,249

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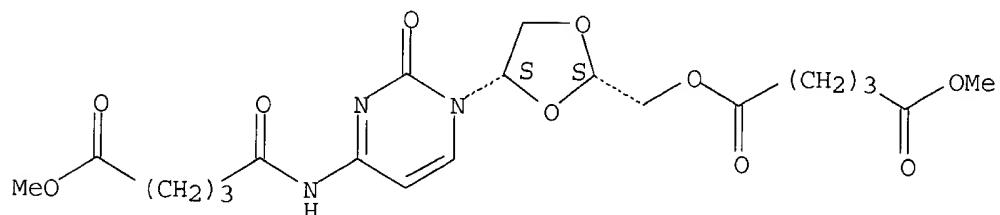
PAGE 1-B



RN 408343-16-8 CAPLUS

CN Pentanedioic acid, [(2S,4S)-4-[(5-methoxy-1,5-dioxopentyl)amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl methyl ester (9CI) (CA INDEX NAME)

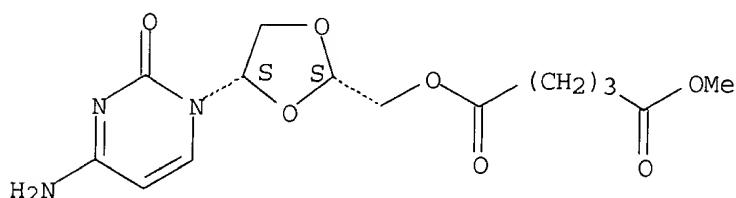
Absolute stereochemistry.



RN 408343-18-0 CAPLUS

CN Pentanedioic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 408343-20-4 CAPLUS

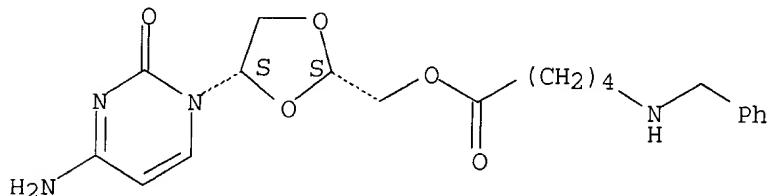
CN Pentanoic acid, 5-[(phenylmethyl)amino]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

09/976, 249

CM 1

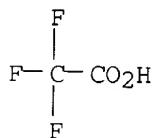
CRN 408343-19-1
CMF C20 H26 N4 O5

Absolute stereochemistry.



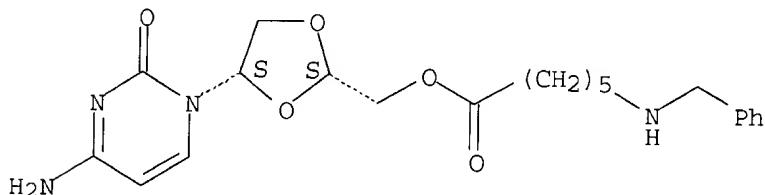
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 408343-21-5 CAPLUS
CN Hexanoic acid, 6-[(phenylmethyl)amino]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

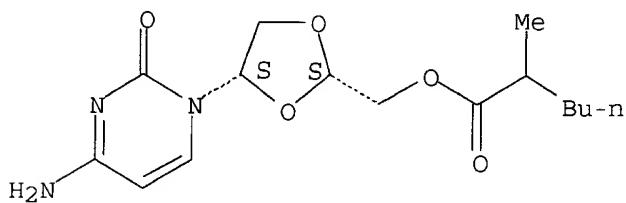


RN 408343-24-8 CAPLUS

CN Hexanoic acid, 2-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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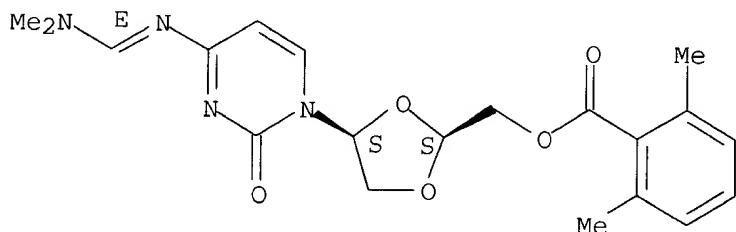
● HCl

RN 408343-25-9 CAPLUS

CN Benzoic acid, 2,6-dimethyl-, [(2S,4S)-4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

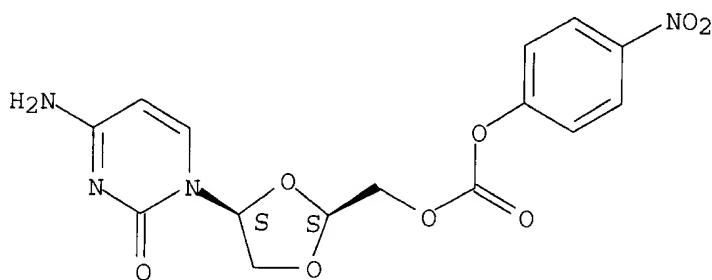
Double bond geometry as shown.



RN 408343-26-0 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl 4-nitrophenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

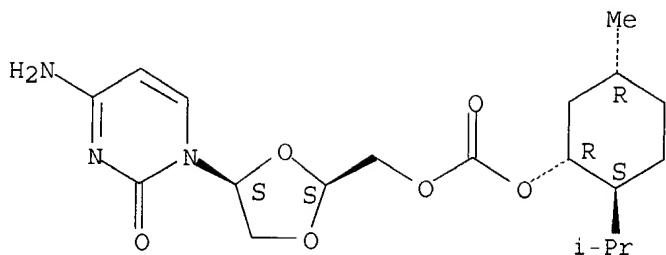


● HCl

RN 408343-34-0 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl (1R,2S,5R)-5-methyl-2-(1-methylethyl)cyclohexyl ester (9CI) (CA INDEX NAME)

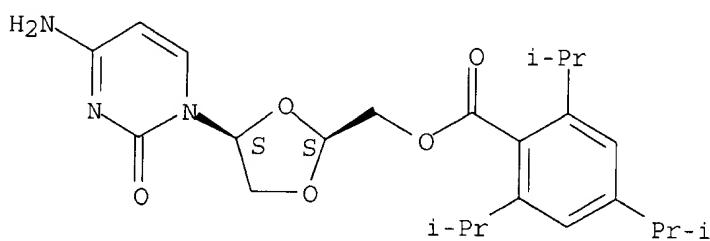
Absolute stereochemistry.



RN 408343-38-4 CAPLUS

CN Benzoic acid, 2,4,6-tris(1-methylethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

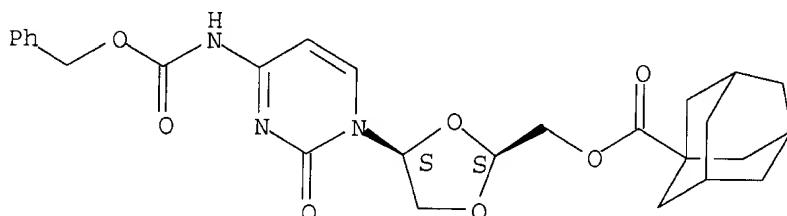
Absolute stereochemistry.



RN 408343-39-5 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, [(2S,4S)-4-[2-oxo-4-[(phenylmethoxy)carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

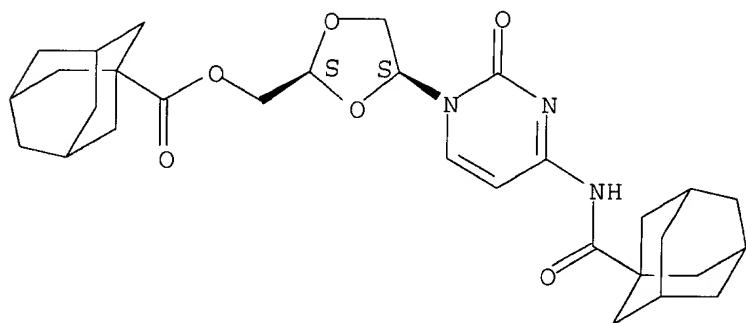


RN 408343-40-8 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, [(2S,4S)-4-[2-oxo-4-[(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

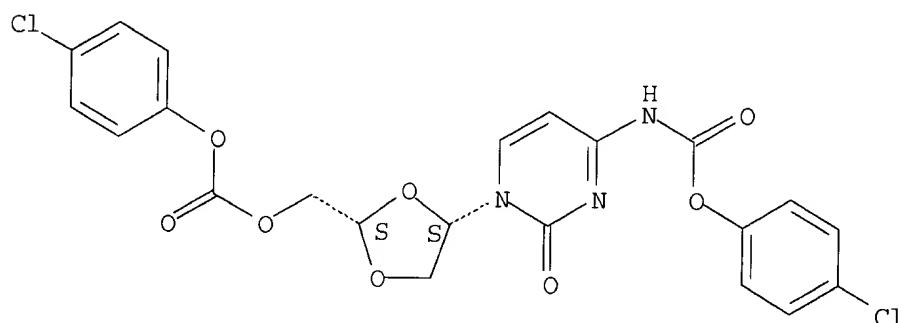
09/976, 249



RN 408343-41-9 CAPLUS

CN Carbonic acid, [(2S,4S)-4-[4-[(4-chlorophenoxy)carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl 4-chlorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 408343-45-3 CAPLUS

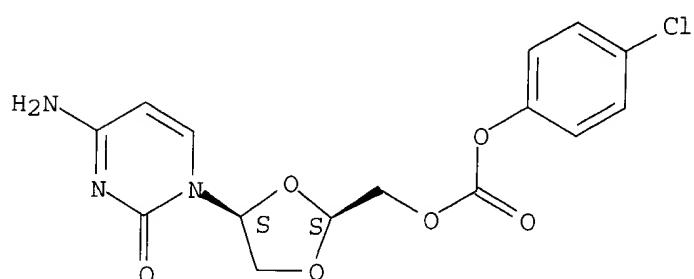
CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl 4-chlorophenyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 408343-44-2

CMF C15 H14 Cl N3 O6

Absolute stereochemistry.

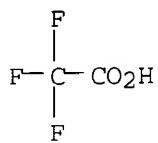


CM 2

CRN 76-05-1

09/976,249

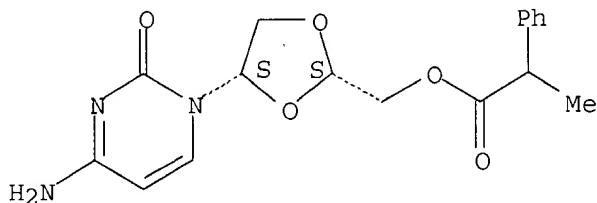
CMF C2 H F3 O2



RN 408343-46-4 CAPLUS

CN Benzeneacetic acid, .alpha.-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

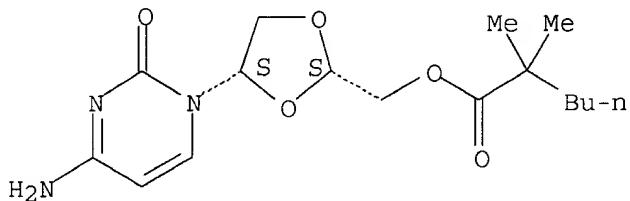


● HCl

RN 408343-47-5 CAPLUS

CN Hexanoic acid, 2,2-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

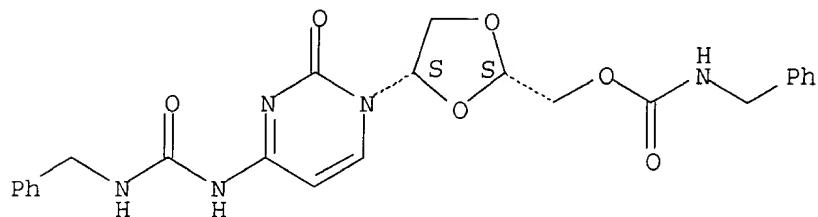


● HCl

RN 408343-49-7 CAPLUS

CN Carbamic acid, (phenylmethyl)-, [(2S,4S)-4-[2-oxo-4-[[[(phenylmethyl)amino]carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

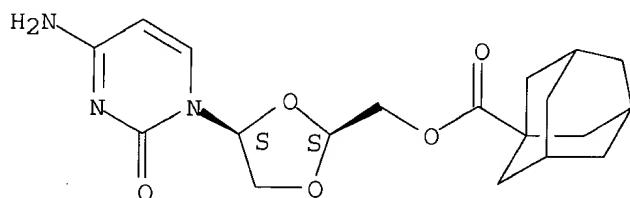
Absolute stereochemistry.



RN 408343-50-0 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



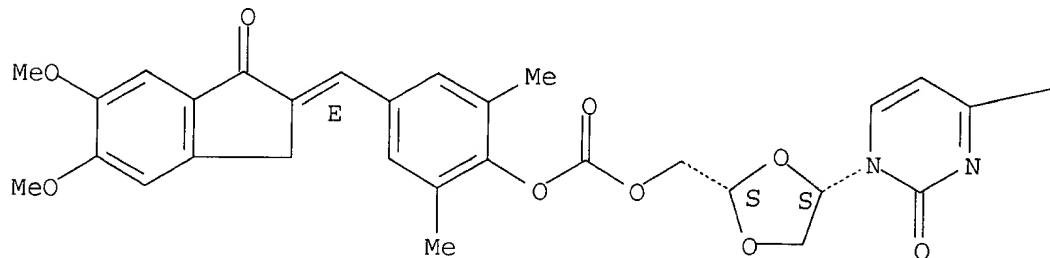
RN 408343-51-1 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl 4-[(E)-(1,3-dihydro-5,6-dimethoxy-1-oxo-2H-inden-2-ylidene)methyl]-2,6-dimethylphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

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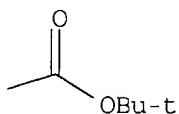
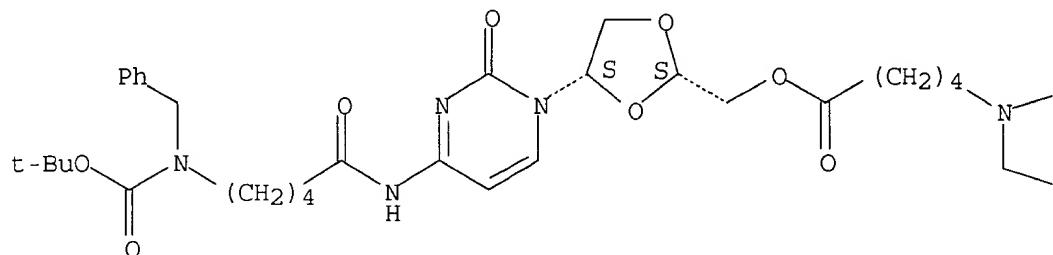
PAGE 1-B

—NH₂

RN 408343-53-3 CAPLUS

CN Pentanoic acid, 5-[[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]-, [(2S,4S)-4-[4-[[5-[[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]-1-oxopentyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

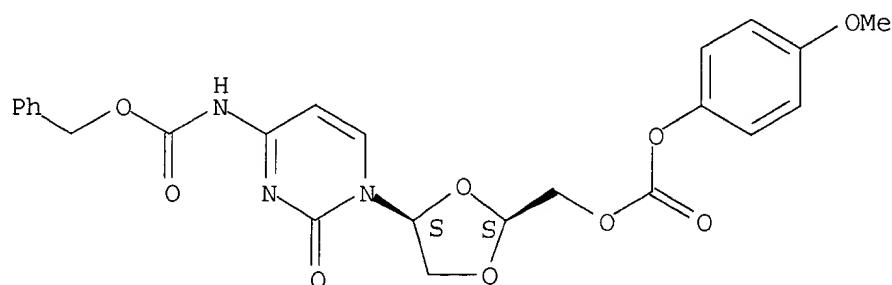
Absolute stereochemistry.



RN 408343-55-5 CAPLUS

CN Carbonic acid, 4-methoxyphenyl [(2S,4S)-4-[(2-oxo-4-[(phenylmethoxy)carbonyl]amino)-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

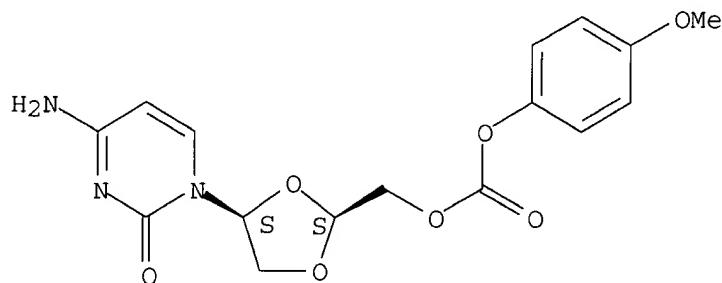
Absolute stereochemistry.



RN 408343-57-7 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl 4-methoxyphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

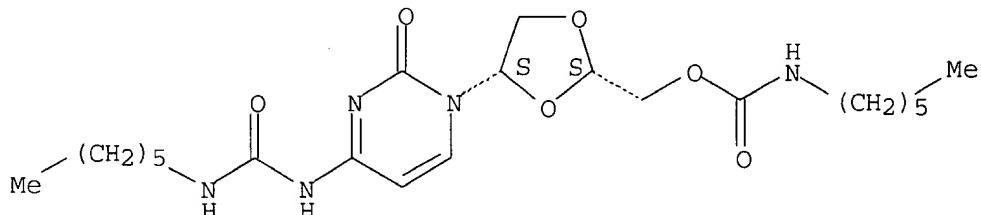


RN 408343-58-8 CAPLUS

09/976,249

CN Carbamic acid, hexyl-, [(2S,4S)-4-[(hexylamino)carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

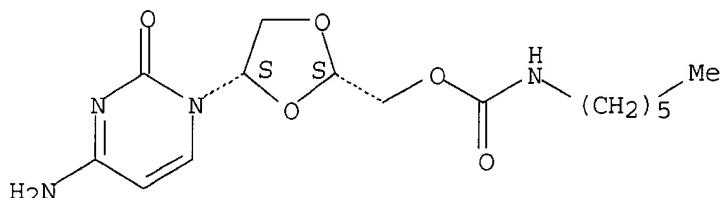
Absolute stereochemistry.



RN 408343-60-2 CAPLUS

CN Carbamic acid, hexyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

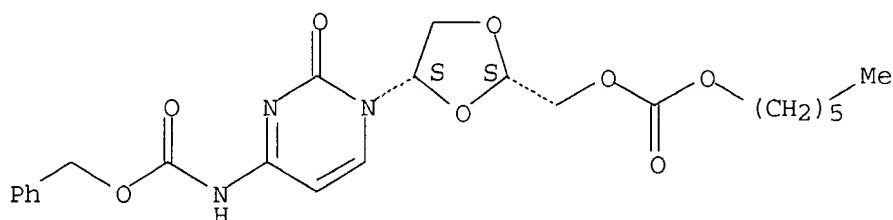
Absolute stereochemistry.



RN 408343-61-3 CAPLUS

CN Carbonic acid, hexyl- [(2S,4S)-4-[2-oxo-4-[(phenylmethoxy)carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

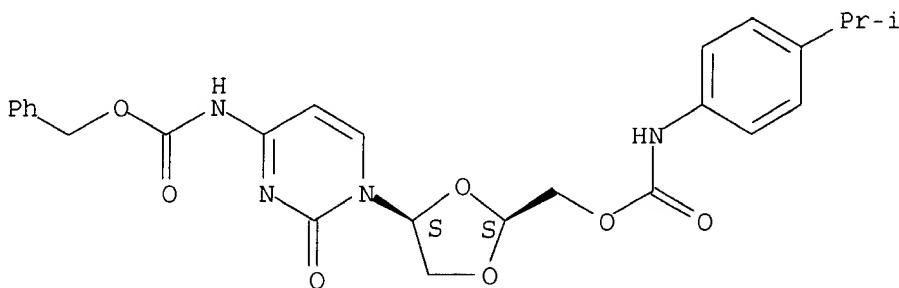


RN 408343-63-5 CAPLUS

CN Carbamic acid, [1,2-dihydro-1-[(2S,4S)-2-[[[[[4-(1-methylethyl)phenyl]amino]carbonyl]oxy]methyl]-1,3-dioxolan-4-yl]-2-oxo-4-pyrimidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

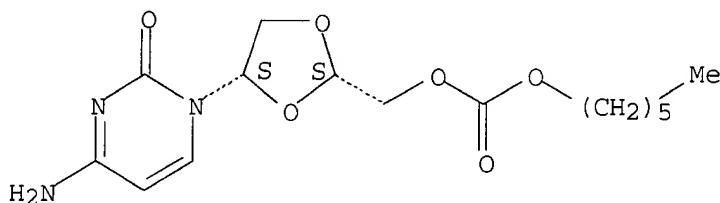
09/976,249



RN 408343-65-7 CAPLUS

CN Carbamic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl hexyl ester (9CI) (CA INDEX NAME)

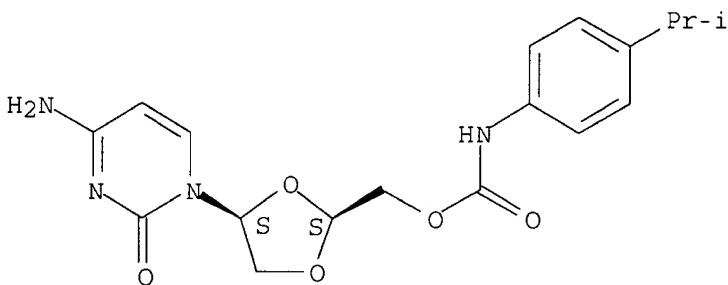
Absolute stereochemistry.



RN 408343-66-8 CAPLUS

CN Carbamic acid, [4-(1-methylethyl)phenyl]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

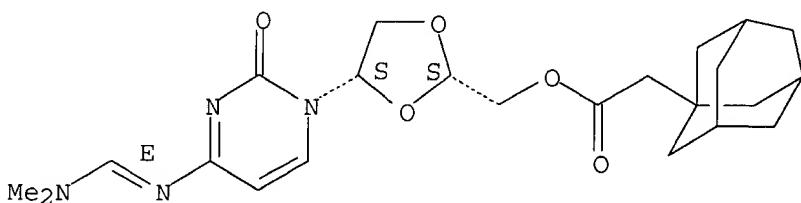


RN 408343-69-1 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-acetic acid, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



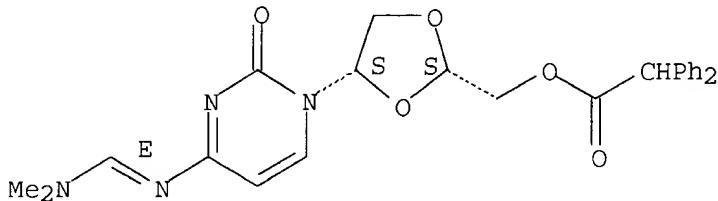
09/976,249

RN 408343-70-4 CAPLUS

CN Benzeneacetic acid, .alpha.-phenyl-, [(2S,4S)-4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

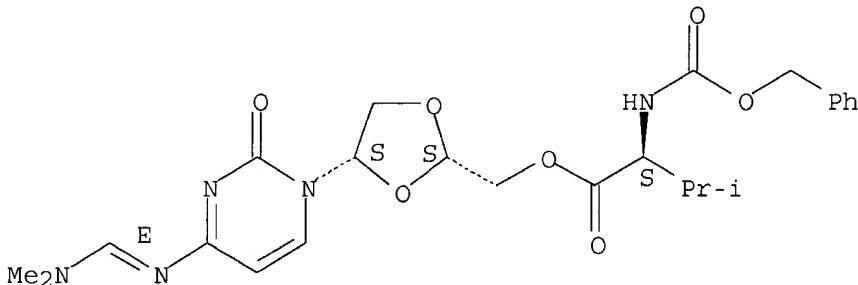


RN 408343-71-5 CAPLUS

CN L-Valine, N-[(phenylmethoxy)carbonyl]-, [(2S,4S)-4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

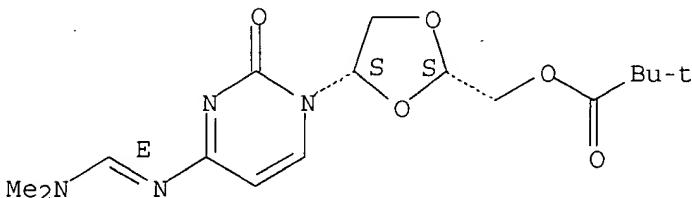


RN 408343-72-6 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [(2S,4S)-4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

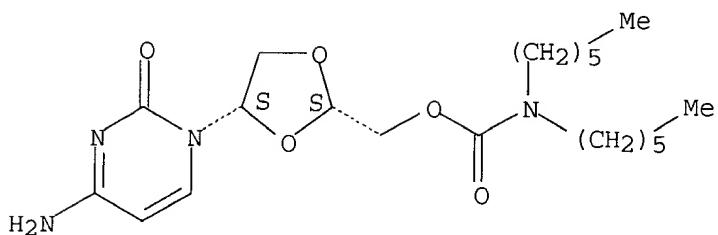


RN 408343-74-8 CAPLUS

CN Carbamic acid, dihexyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

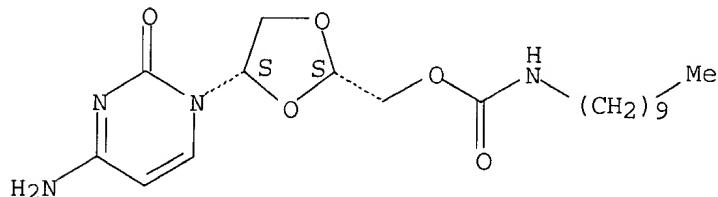
09/976, 249



RN 408343-76-0 CAPLUS

CN Carbamic acid, decyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

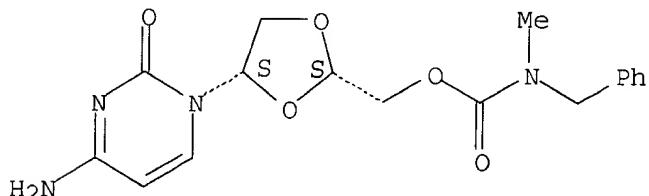
Absolute stereochemistry.



RN 408343-79-3 CAPLUS

CN Carbamic acid, methyl(phenylmethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

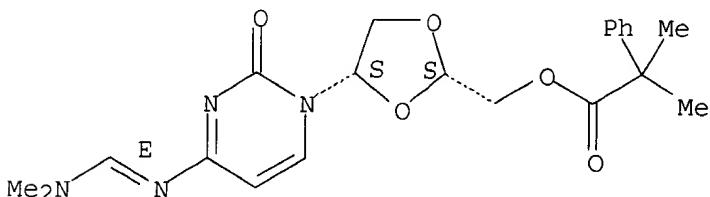


RN 408343-82-8 CAPLUS

CN Benzeneacetic acid, .alpha.,.alpha.-dimethyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

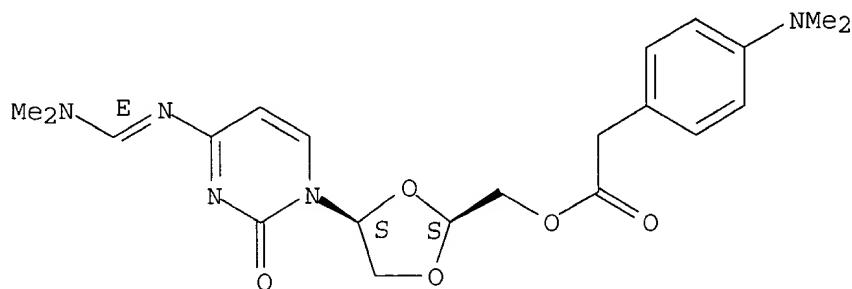


RN 408343-84-0 CAPLUS

CN Benzeneacetic acid, 4-(dimethylamino)-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

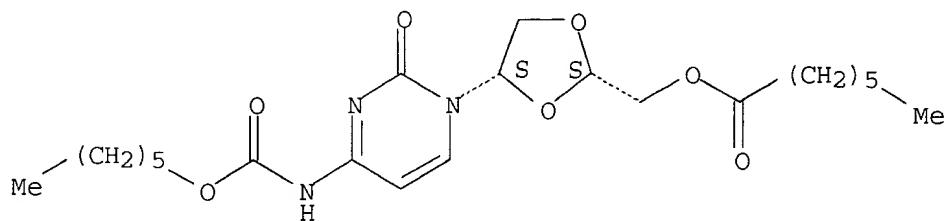
09/976,249

Absolute stereochemistry.
Double bond geometry as shown.



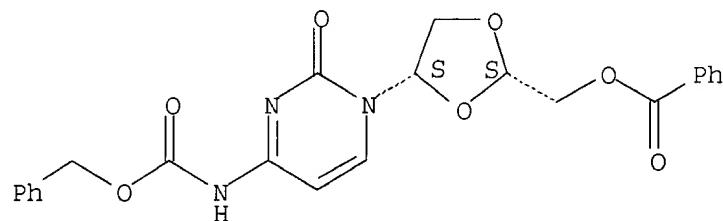
RN 408343-96-4 CAPLUS
CN Heptanoic acid, [(2S,4S)-4-[4-[(hexyloxy)carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 408344-02-5 CAPLUS
CN Carbamic acid, [1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

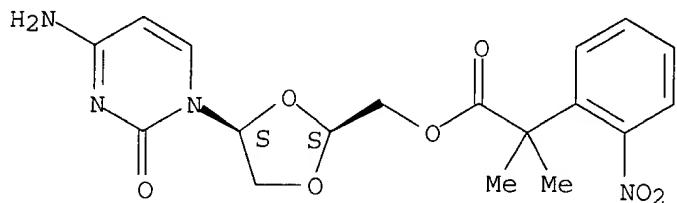
Absolute stereochemistry.



RN 408344-06-9 CAPLUS
CN Benzeneacetic acid, .alpha.,.alpha.-dimethyl-2-nitro-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

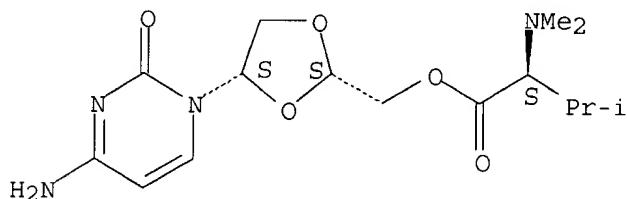
09/976,249



RN 408344-08-1 CAPLUS

CN L-Valine, N,N-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

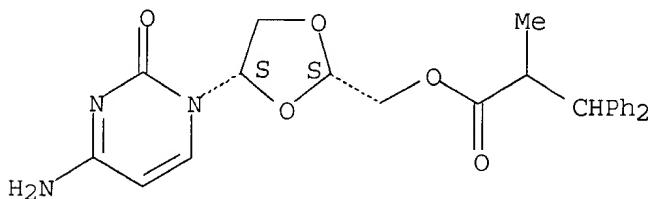
Absolute stereochemistry.



RN 408344-10-5 CAPLUS

CN Benzenepropanoic acid, .alpha.-methyl-.beta.-phenyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

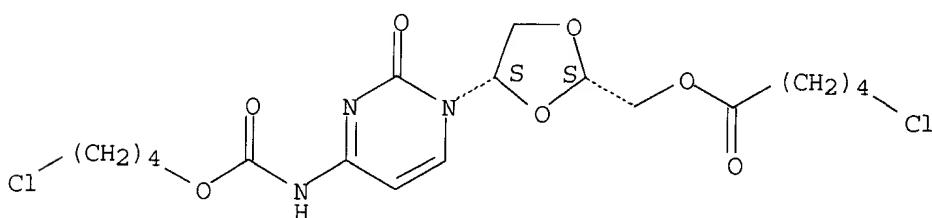
Absolute stereochemistry.



RN 408344-16-1 CAPLUS

CN Pentanoic acid, 5-chloro-, [(2S,4S)-4-[4-[(4-chlorobutoxy)carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

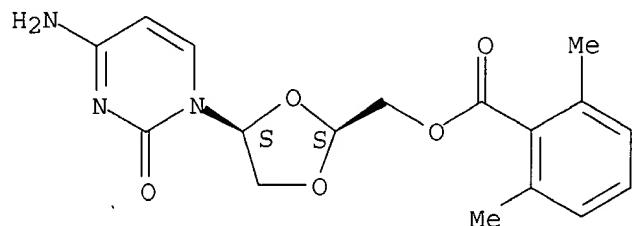


RN 408344-22-9 CAPLUS

CN Benzoic acid, 2,6-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

09/976,249

Absolute stereochemistry.



● HCl

RN 408344-28-5 CAPLUS

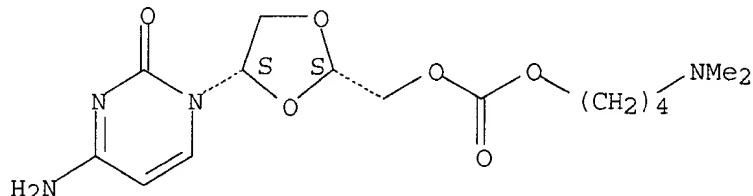
CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl 4-(dimethylamino)butyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 408344-27-4

CMF C15 H24 N4 O6

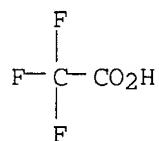
Absolute stereochemistry.



CM 2

CRN 76-05-1

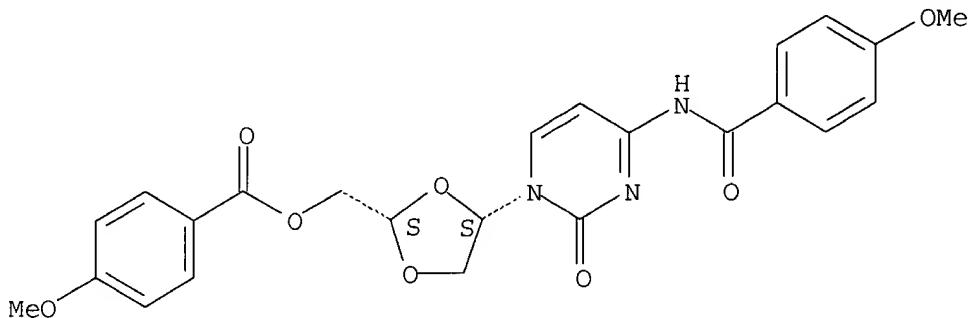
CMF C2 H F3 O2



RN 408344-50-3 CAPLUS

CN Benzoic acid, 4-methoxy-, [(2S,4S)-4-[4-[(4-methoxybenzoyl)amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

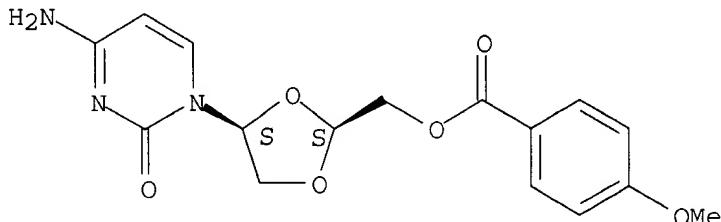
Absolute stereochemistry.



RN 408344-56-9 CAPLUS

CN Benzoic acid, 4-methoxy-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

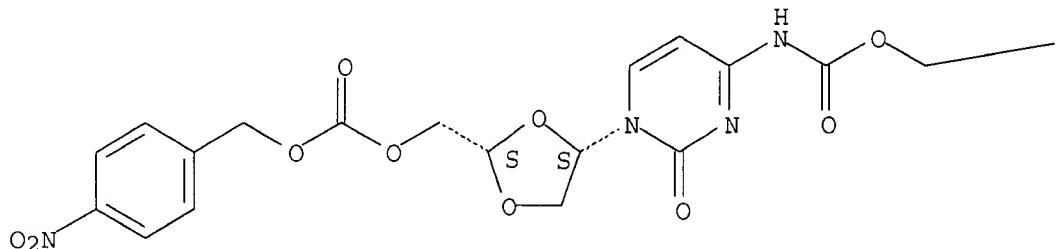


RN 408344-73-0 CAPLUS

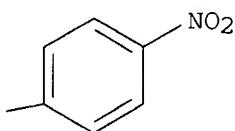
CN Carbonic acid, [(2S,4S)-4-[4-[(4-nitrophenyl)methoxy]carbonyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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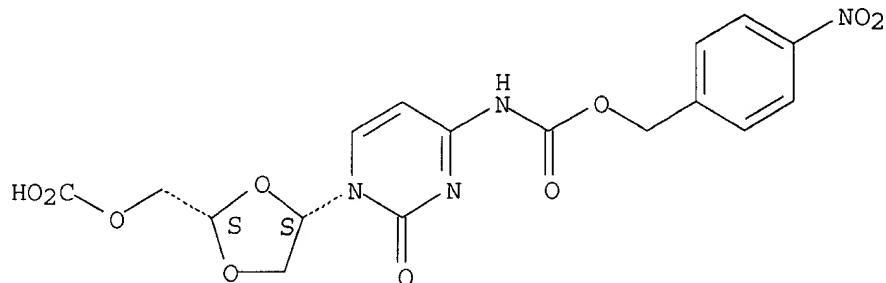
RN 408344-77-4 CAPLUS

CN Carbamic acid, [1-[(2S,4S)-2-(carboxyoxy)methyl]-1,3-dioxolan-4-yl]-1,2-

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dihydro-2-oxo-4-pyrimidinyl] -, mono[(4-nitrophenyl)methyl] ester (9CI)
(CA INDEX NAME)

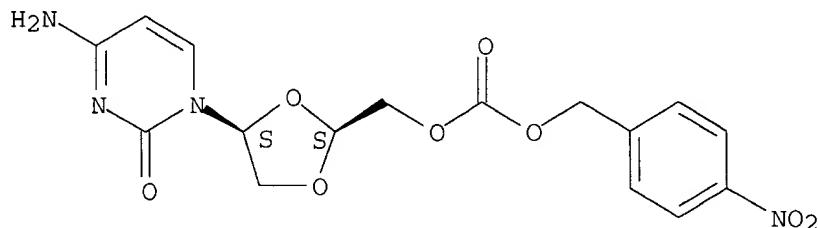
Absolute stereochemistry.



RN 408344-81-0 CAPLUS

CN Carbonic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl (4-nitrophenyl)methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

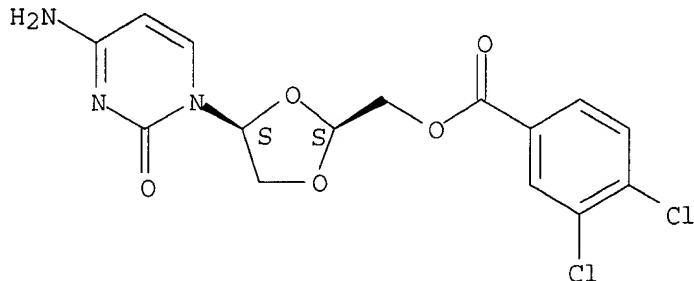


● HCl

RN 408344-91-2 CAPLUS

CN Benzoic acid, 3,4-dichloro-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

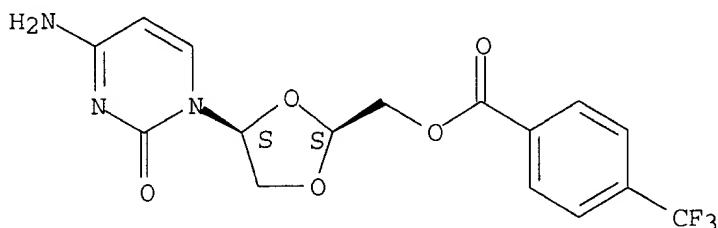


RN 408344-99-0 CAPLUS

CN Benzoic acid, 4-(trifluoromethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

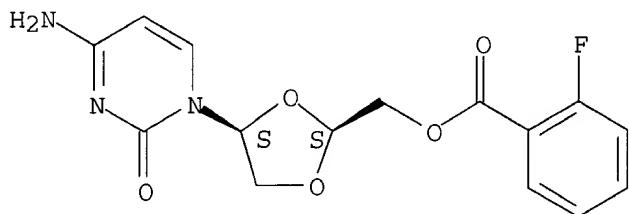
09/976,249



RN 408345-03-9 CAPLUS

CN Benzoic acid, 2-fluoro-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

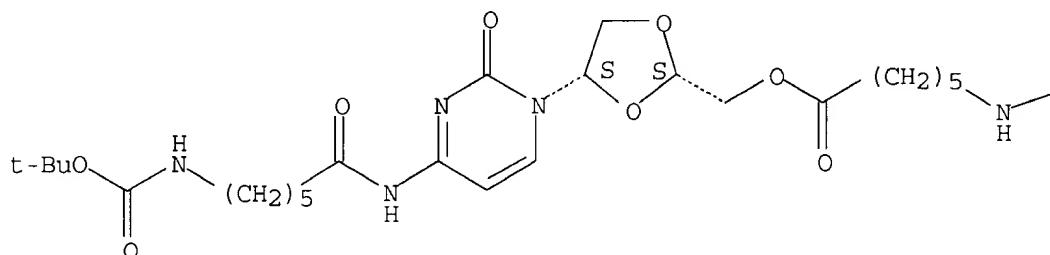


RN 408345-07-3 CAPLUS

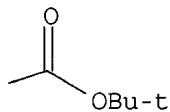
CN Hexanoic acid, 6-[[[(1,1-dimethylethoxy)carbonyl]amino]-, [(2S,4S)-4-[4-[[6-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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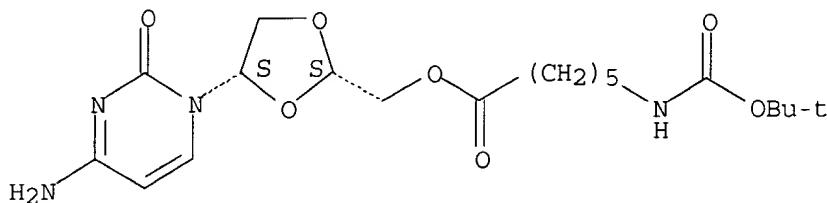


RN 408345-14-2 CAPLUS

CN Hexanoic acid, 6-[[[(1,1-dimethylethoxy)carbonyl]amino]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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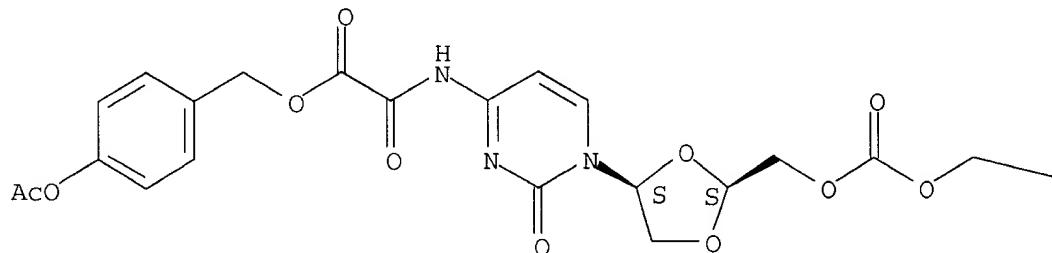


RN 408345-29-9 CAPLUS

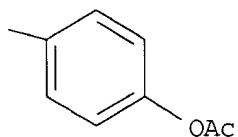
CN Acetic acid, [(1-[(2S,4S)-2-[[[[[4-(acetyloxy)phenyl]methoxy]carbonyl]oxy]methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]amino]oxo-, [4-(acetyloxy)phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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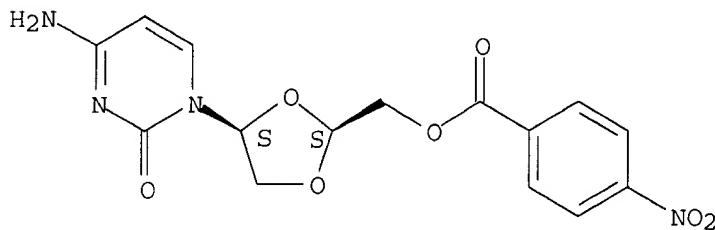
PAGE 1-B



RN 408345-34-6 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,4S)-2-[(4-nitrobenzoyl)oxy]methyl]-1,3-dioxolan-4-yl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

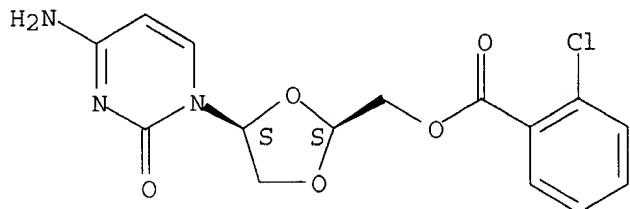


RN 408345-41-5 CAPLUS

CN Benzoic acid, 2-chloro-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

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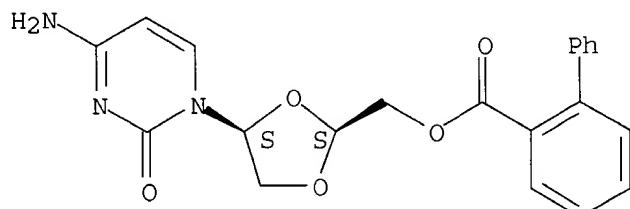
Absolute stereochemistry.



RN 408345-49-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

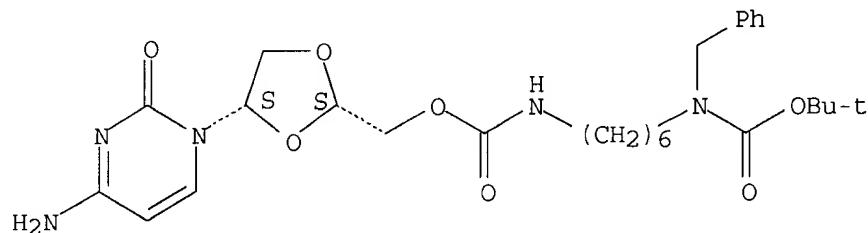
Absolute stereochemistry.



RN 408345-61-9 CAPLUS

CN Carbamic acid, [6-[[[(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methoxy]carbonyl]amino]hexyl (phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

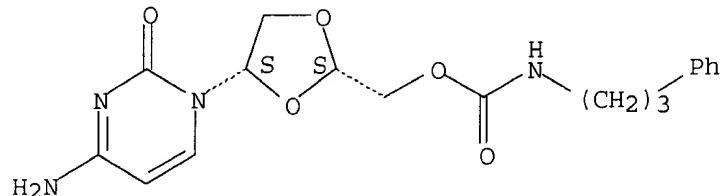
Absolute stereochemistry.



RN 408345-64-2 CAPLUS

CN Carbamic acid, (3-phenylpropyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



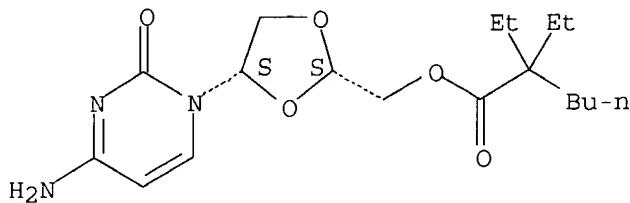
RN 408345-70-0 CAPLUS

CN Hexanoic acid, 2,2-diethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-

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1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

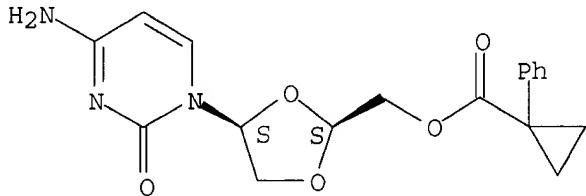
Absolute stereochemistry.



RN 408345-77-7 CAPLUS

CN Cyclopropanecarboxylic acid, 1-phenyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

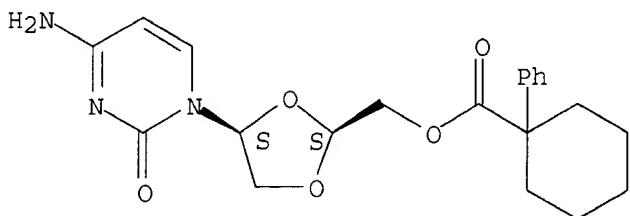
Absolute stereochemistry.



RN 408345-80-2 CAPLUS

CN Cyclohexanecarboxylic acid, 1-phenyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

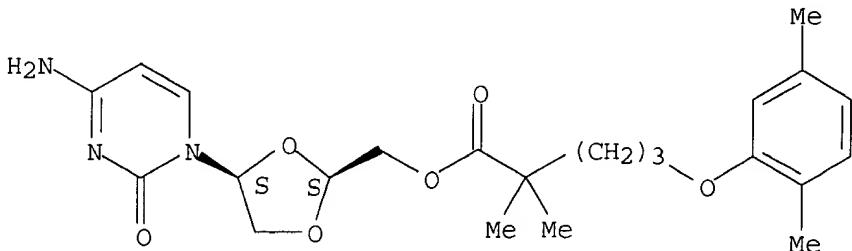
Absolute stereochemistry.



RN 408345-88-0 CAPLUS

CN Pentanoic acid, 5-(2,5-dimethylphenoxy)-2,2-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

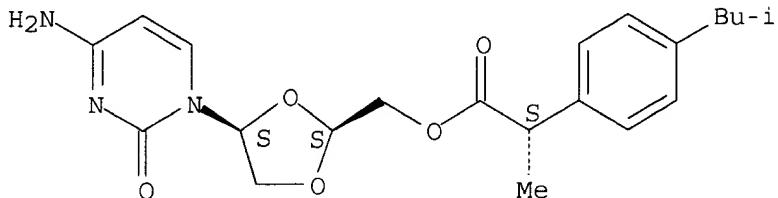


09/976,249

RN 408345-92-6 CAPLUS

CN Benzeneacetic acid, .alpha.-methyl-4-(2-methylpropyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

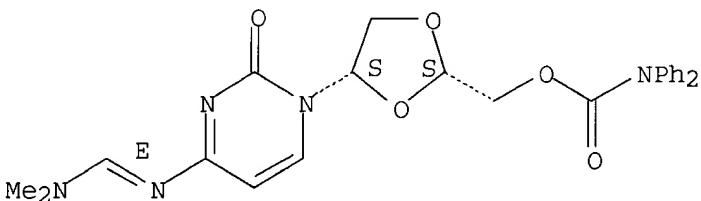


RN 408345-93-7 CAPLUS

CN Carbamic acid, diphenyl-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

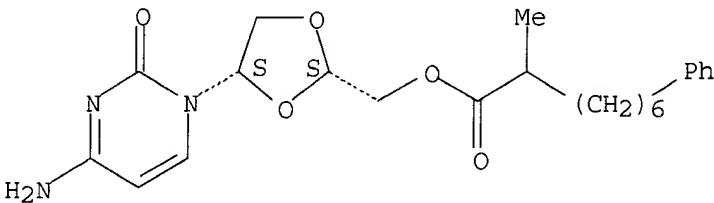
Double bond geometry as shown.



RN 408345-94-8 CAPLUS

CN Benzeneoctanoic acid, .alpha.-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

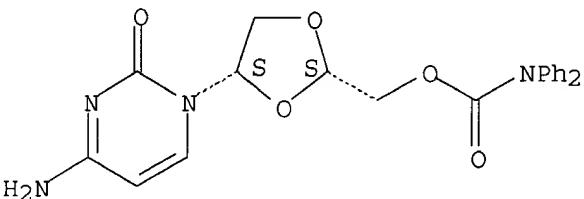
Absolute stereochemistry.



RN 408345-95-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,4S)-2-[[[(diphenylamino)carbonyl]oxy]methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

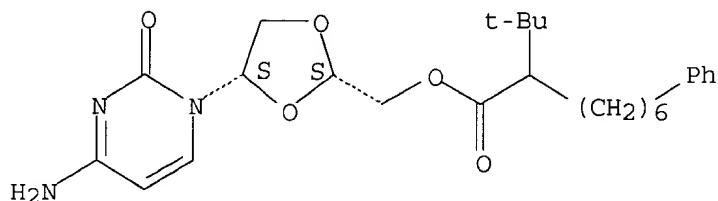
Absolute stereochemistry.



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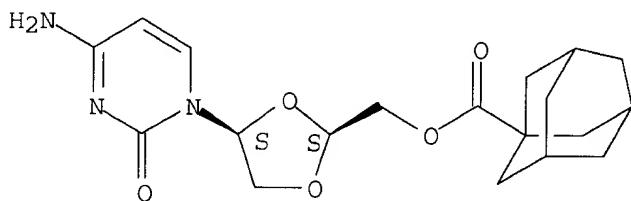
RN 408346-00-9 CAPLUS
CN Benzeneoctanoic acid, .alpha.-(1,1-dimethylethyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 408346-02-1 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

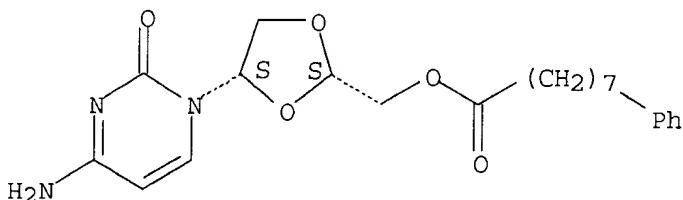
Absolute stereochemistry.



● HCl

RN 408346-03-2 CAPLUS
CN Benzeneoctanoic acid, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

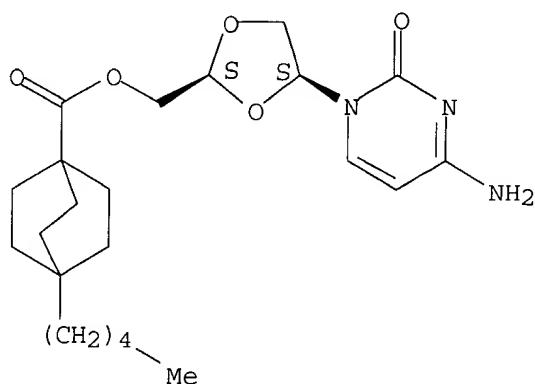


● HCl

RN 408346-04-3 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-pentyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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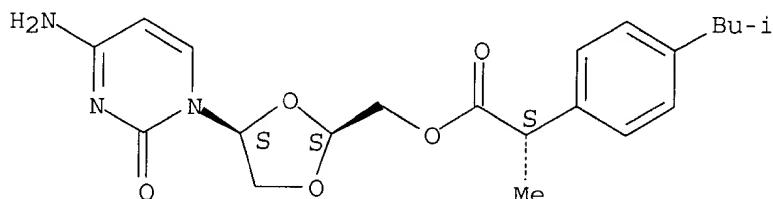


● HCl

RN 408346-05-4 CAPLUS

CN Benzeneacetic acid, .alpha.-methyl-4-(2-methylpropyl)-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

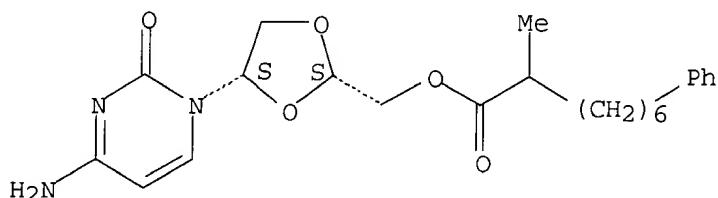


● HCl

RN 408346-06-5 CAPLUS

CN Benzeneoctanoic acid, .alpha.-methyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

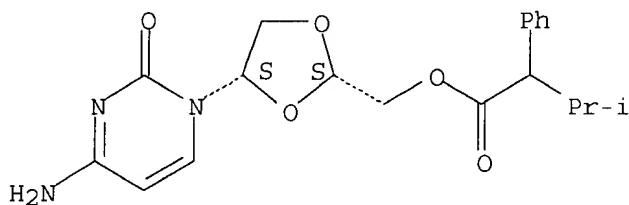
RN 408346-07-6 CAPLUS

CN Benzeneacetic acid, .alpha.- (1-methylethyl)-, [(2S,4S)-4-(4-amino-2-oxo-

09/976,249

1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

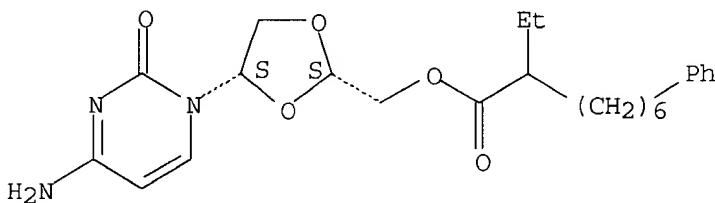
Absolute stereochemistry.



RN 408346-11-2 CAPLUS

CN Benzeneoctanoic acid, .alpha.-ethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

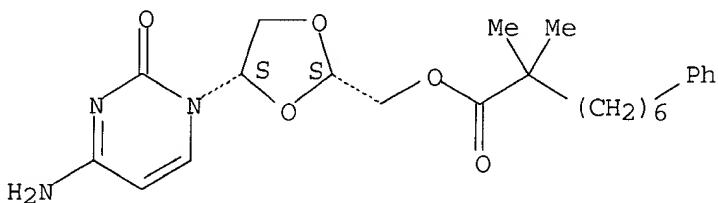
Absolute stereochemistry.



RN 408349-46-2 CAPLUS

CN Benzeneoctanoic acid, .alpha.,.alpha.-dimethyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

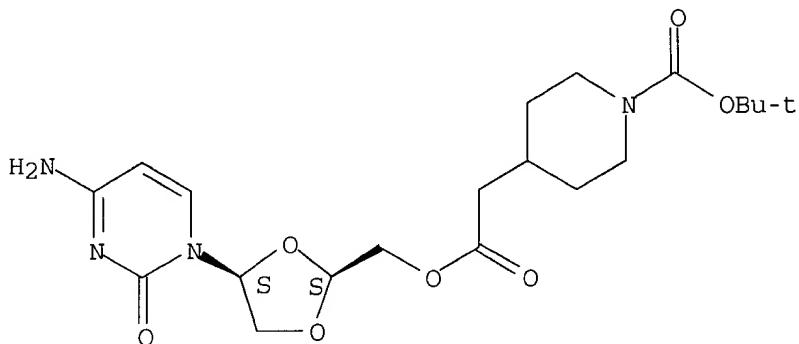
IT 408342-10-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(prep. of dioxolane nucleoside analogs for improved intercellular delivery)

RN 408342-10-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[(1,1-dimethylethoxy)carbonyl]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



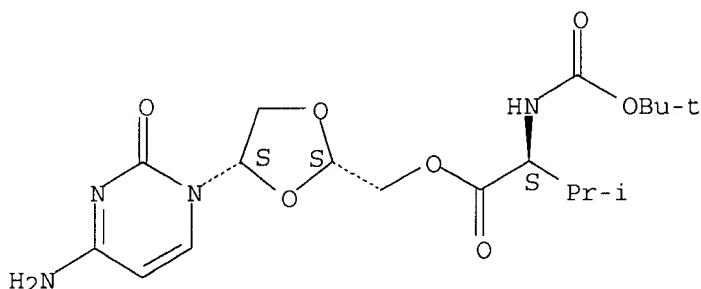
IT 408342-17-6P 408342-32-5P 408342-33-6P
 408342-39-2P 408342-52-9P 408342-58-5P
 408342-62-1P 408342-64-3P 408342-65-4P
 408342-66-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of dioxolane nucleoside analogs for improved intercellular delivery)

RN 408342-17-6 CAPLUS

CN L-Valine, N-[(1,1-dimethylethoxy)carbonyl]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

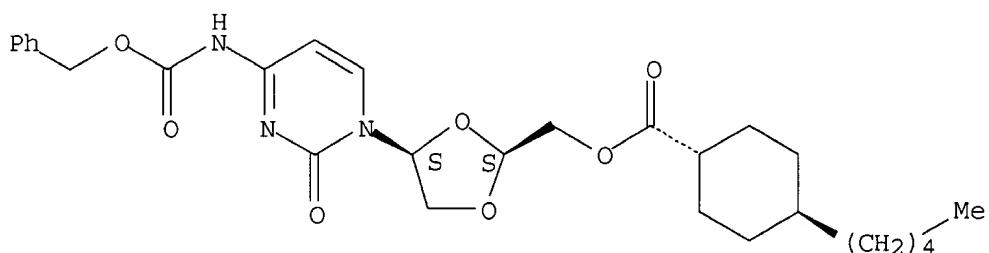
Absolute stereochemistry.



RN 408342-32-5 CAPLUS

CN Cyclohexanecarboxylic acid, 4-pentyl-, [(2S,4S)-4-[2-oxo-4-[(phenylmethoxy)carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

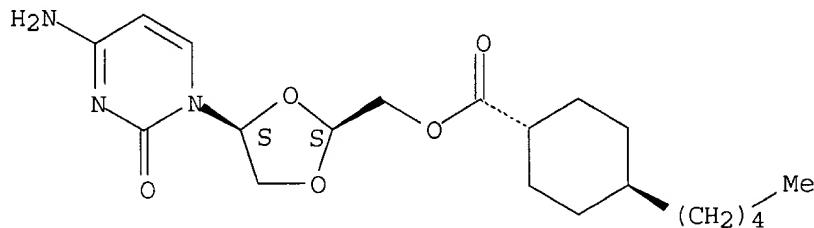


RN 408342-33-6 CAPLUS

CN Cyclohexanecarboxylic acid, 4-pentyl-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, trans- (9CI) (CA INDEX NAME)

09/976,249

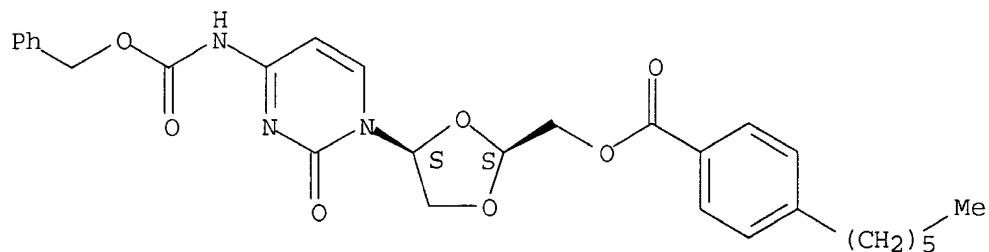
Absolute stereochemistry.



RN 408342-39-2 CAPLUS

CN Benzoic acid, 4-hexyl-, [(2S,4S)-4-[2-oxo-4-[(phenylmethoxy)carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-ylmethyl ester (9CI) (CA INDEX NAME)

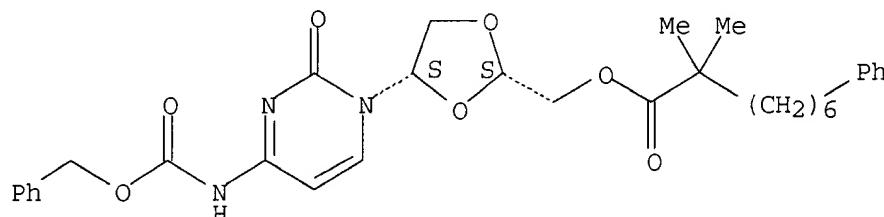
Absolute stereochemistry.



RN 408342-52-9 CAPLUS

CN Benzeneoctanoic acid, .alpha.,.alpha.-dimethyl-, [(2S,4S)-4-[2-oxo-4-[(phenylmethoxy)carbonyl]amino]-1(2H)-pyrimidinyl]-1,3-dioxolan-2-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



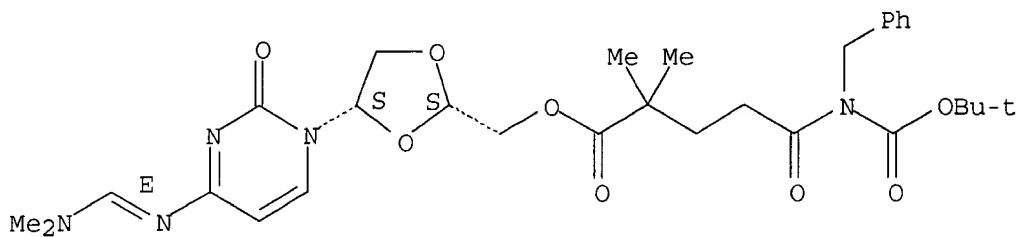
RN 408342-58-5 CAPLUS

CN Pentanoic acid, 5-[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]-2,2-dimethyl-1-oxo-, [(2S,4S)-4-[4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

09/976,249

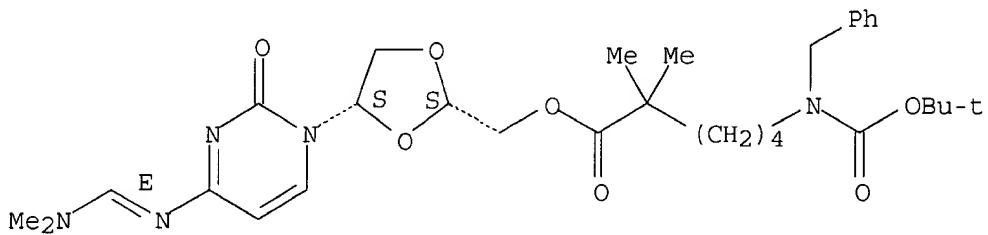


RN 408342-62-1 CAPLUS

CN Hexanoic acid, 6-[(1,1-dimethylethoxy)carbonyl] (phenylmethyl)amino] -2,2-dimethyl-, [(2S,4S)-4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

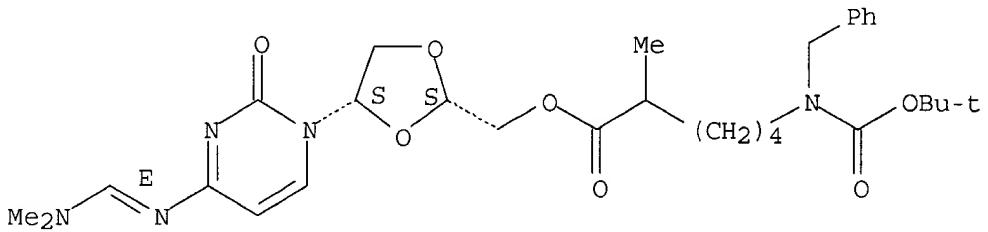


RN 408342-64-3 CAPLUS

CN Hexanoic acid, 6-[(1,1-dimethylethoxy)carbonyl] (phenylmethyl)amino] -2-methyl-, [(2S,4S)-4-[(E)-[(dimethylamino)methylene]amino]-2-oxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

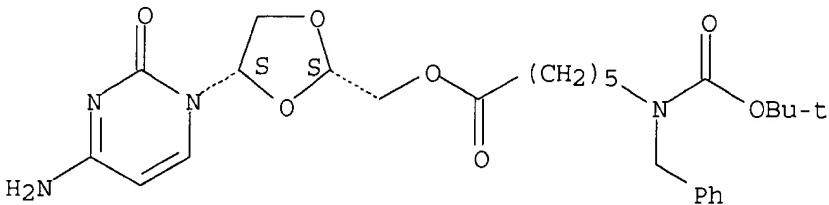
Double bond geometry as shown.



RN 408342-65-4 CAPLUS

CN Hexanoic acid, 6-[(1,1-dimethylethoxy)carbonyl] (phenylmethyl)amino] -, [(2S,4S)-4-((4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl)methyl ester (9CI) (CA INDEX NAME)

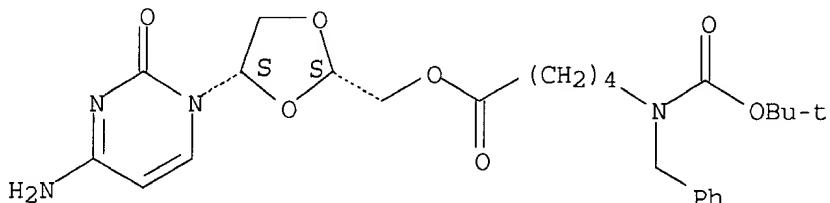
Absolute stereochemistry.



09/976, 249

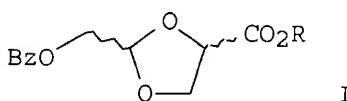
RN 408342-66-5 CAPLUS
CN Pentanoic acid, 5-[[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]-, [(2S,4S)-4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:597981 CAPLUS
DOCUMENT NUMBER: 135:167014
TITLE: Stereoselective synthesis of dioxolane nucleoside analogs via enzymic hydrolysis
INVENTOR(S): Cimpoia, Alex; Wang, Yi-fong
PATENT ASSIGNEE(S): Biochem Pharma Inc., Can.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------------------------------------|------------------------------|----------|
| WO 2001058894 | A1 | 20010816 | WO 2001-CA117 | 20010202 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1265890 | A1 | 20021218 | EP 2001-903534 | 20010202 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2002006635 | A1 | 20020117 | US 2001-779853 | 20010209 |
| US 6541625 | B2 | 20030401 | | |
| PRIORITY APPLN. INFO.: | | | US 2000-181977P (P 20000211) | |
| | | | WO 2001-CA117 (W 20010202) | |
| OTHER SOURCE(S): GI | | CASREACT 135:167014; MARPAT 135:167014 | | |



AB The invention is a process for stereoselectively producing a dioxolane nucleoside analog from an anomeric mixt. of .beta. and .alpha. anomers I, wherein R is selected from the group consisting of C1-6 alkyl and C6-15 aryl. The process comprises hydrolyzing said mixt. with an enzyme selected from the group consisting of protease N, alcalase, savinase, ChiroCLEC-BL, PS-30, and ChiroCLEC-PC to stereoselectively hydrolyze predominantly one anomer to form a product wherein R is replaced with H. The process also includes the step of sepg. the product from unhydrolyzed starting material. Addnl., the functional group at the C4 position is stereoselectively replaced with a purinyl or pyrimidinyl or deriv. thereof. Enzyme catalyzed hydrolytic resoln. of 2-(S)-benzoyloxymethyl-4-carboxylic acid-1,3-dioxolane Me ester using savinase gave 2-(S)-benzoyloxymethyl-4-(S)-carboxylic acid-1,3-dioxolanemethyl ester with greater than 98% anomeric purity. Thus, 2-(S)-Benzoyloxymethyl-1,3-dioxolan-4-(S)-yl-2-oxo-4-aminoacetylpyrimidine was prep'd. via coupling of 2S-benzoyloxymethyl-acetoxy-1,3-dioxolane with persilylated N-acetylcytosine.

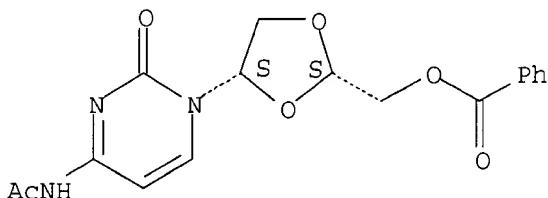
IT 145414-63-7P 354570-97-1P

RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(stereoselective synthesis of dioxolane nucleoside analogs via enzymic hydrolysis)

RN 145414-63-7 CAPLUS

CN Acetamide, N-[1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

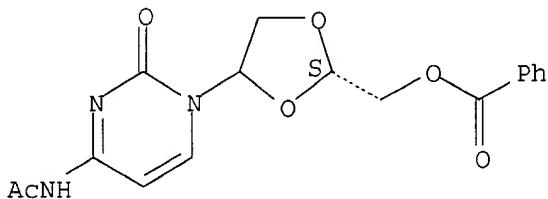
Absolute stereochemistry. Rotation (-).



RN 354570-97-1 CAPLUS

CN Acetamide, N-[1-[(2S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 145416-35-9P

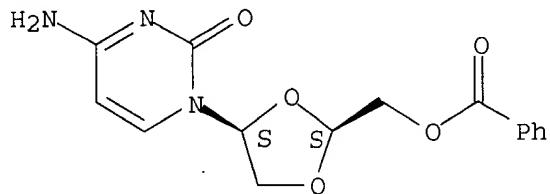
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective synthesis of dioxolane nucleoside analogs via enzymic hydrolysis)

RN 145416-35-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/976,249

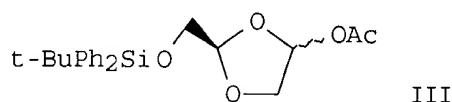
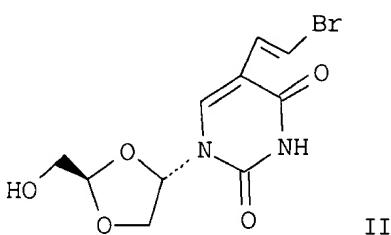
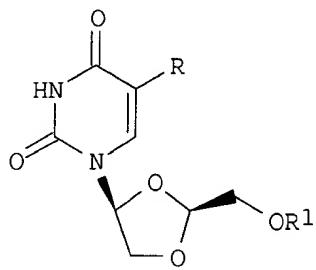


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:78180 CAPLUS
DOCUMENT NUMBER: 134:147438
TITLE: Preparation of 5-(E)-bromovinyl uracil analogs and related pyrimidine nucleosides as antiviral agents
INVENTOR(S): Cheng, Yung-Chi; Chu, Chung K.; Li, Ling; Choi, Yongseok
PATENT ASSIGNEE(S): Yale University, USA; The University of Georgia Research Foundation, Inc.
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------|----------|-----------------|------------|
| WO 2001006986 | A2 | 20010201 | WO 2000-US19881 | 20000720 |
| WO 2001006986 | A3 | 20011025 | | |
| W: AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2000062288 | A5 | 20010213 | AU 2000-62288 | 20000720 |
| EP 1204415 | A2 | 20020515 | EP 2000-948851 | 20000720 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| PRIORITY APPLN. INFO.: | | | US 1999-358028 | A 19990721 |
| | | | WO 2000-US19881 | W 20000720 |
| OTHER SOURCE(S): | MARPAT 134:147438 | | | |
| GI | | | | |



AB Pyrimidine nucleosides I (R = C.tpbond.CH, Et, (E)-CH=CHX, (Z)-CH=CHX; X = H, F, Br, Cl, I, Me; R1 = H, C1 to C20 acyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group) were prep'd. for treatment of viral infections of Varicella Zoster Virus, Epstein Barr Virus and Kaposi's Sarcoma virus (HV-8), and related complications of these viral infections. Thus, II was prep'd. with a yield of 90% from (E)-bromovinyluracil and III. The antiviral activity of II showed an IC50 (.mu.M) of >30 against VZV and >50 against HSV-1 and a cytotoxicity (.mu.M) of >100. The use of one or more nucleosides to increase the retention or half-life of 5-fluorouracil in patients is described.

IT 323193-71-1P 323193-72-2P 323193-74-4P

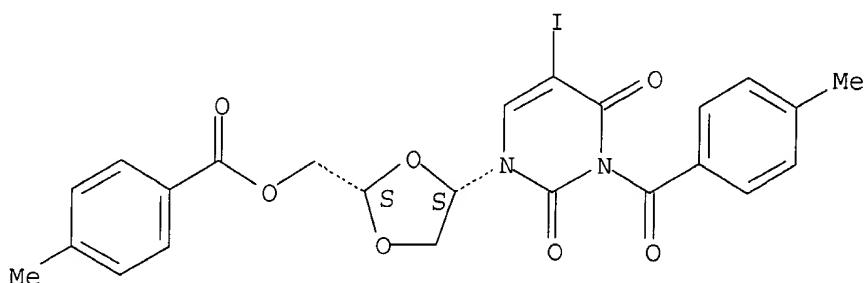
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 5-(E)-(bromovinyl)uracil analogs and related pyrimidine nucleosides as antiviral agents)

RN 323193-71-1 CAPLUS

CN Benzoic acid, 4-methyl-, [(2S,4S)-4-[3,4-dihydro-5-iodo-3-(4-methylbenzoyl)-2,4-dioxo-1(2H)-pyrimidinyl]-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

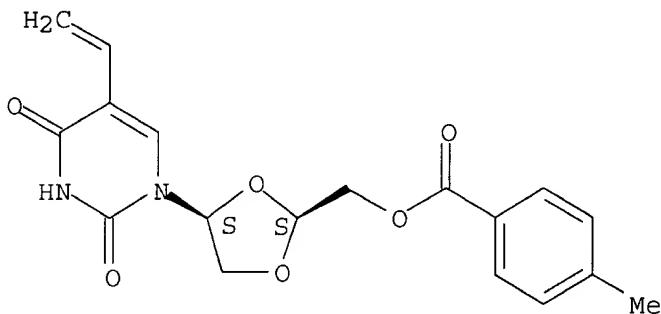


RN 323193-72-2 CAPLUS

CN Benzoic acid, 4-methyl-, [(2S,4S)-4-(5-ethenyl-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

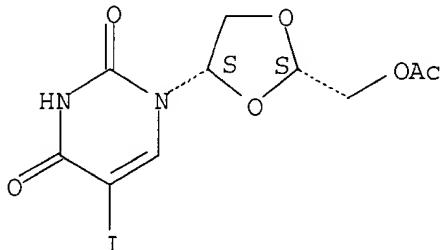
09/976,249



RN 323193-74-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,4S)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L5 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:658075 CAPLUS

DOCUMENT NUMBER: 135:211232

TITLE: Preparation of substituted-1,3-oxathiolane and substituted-1,3-dioxolane nucleosides with antiviral properties

INVENTOR(S): Belleau, Bernard; Belleau, Pierette; Nguyen-ba, Nghe

PATENT ASSIGNEE(S): Can.

SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S. Ser. No. 306,830.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

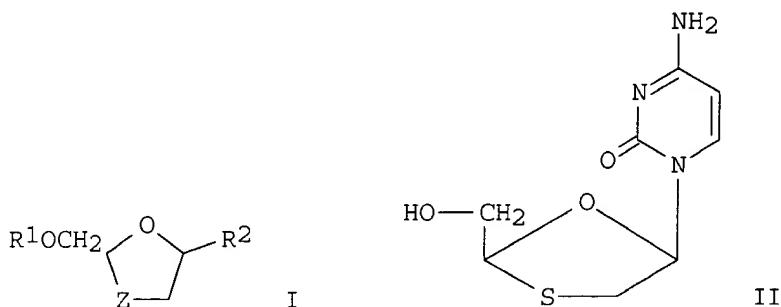
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 200120026 | A1 | 20010906 | US 1998-172848 | 19981015 |
| US 6350753 | B1 | 20020226 | US 1998-163374 | 19980930 |
| US 2003087918 | A1 | 20030508 | US 2002-73116 | 20020212 |

| | | | |
|------------------------|----------------|----|----------|
| PRIORITY APPLN. INFO.: | US 1990-564160 | B1 | 19900807 |
| | US 1994-306830 | A2 | 19940915 |
| | US 1988-179615 | B1 | 19880411 |
| | US 1989-308101 | A2 | 19890208 |
| | US 1990-546676 | A2 | 19900629 |
| | US 1991-666045 | A3 | 19910307 |
| | US 1998-163374 | A1 | 19980930 |

OTHER SOURCE(S): MARPAT 135:211232
GI



AB Disclosed are compds. I wherein R1 is hydrogen or an acyl group having 1 to 16 carbon atoms; R2 is a purine or pyrimidine base or an analog or deriv. thereof; Z is O, S, S=O or SO₂; and pharmaceutically acceptable derivs. thereof. Also described are processes for and intermediates of use in their prepn., pharmaceutical compns. contg. these compds., and the use of these compds. in the antiviral treatment of mammals. Thus, oxathiolane nucleoside II was prep'd. and tested for its anti-HIV-1 activity in MT-4 cells (EC₅₀ = 87.4 .mu.g/mL).

IT 126652-55-9P 126652-56-0P

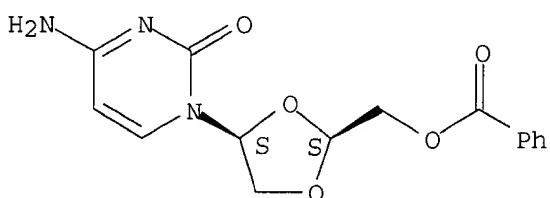
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted-1,3-oxathiolane and substituted-1,3-dioxolane nucleosides with antiviral properties)

RN 126652-55-9 CAPLUS

CN 2 (1H)-Pyrimidinone, 4-amino-1-[(2R,4R)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-, rel- (9CI) (CA INDEX NAME)

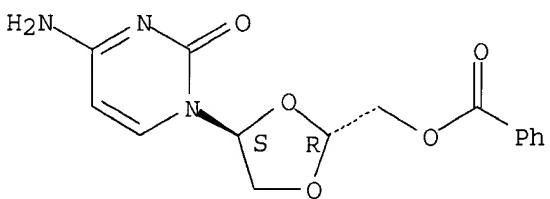
Relative stereochemistry.



RN 126652-56-0 CAPTUS

2 (1H)-Pyrimidinone, 4-amino-1-[(2R,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



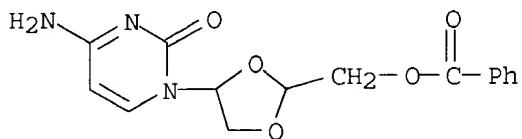
IT 126652-31-1P 126652-45-7P 126652-46-8P
126652-51-5P 126652-52-6P

09/976,249

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prep. of substituted-1,3-oxathiolane and substituted-1,3-dioxolane nucleosides with antiviral properties)

RN 126652-31-1 CAPLUS

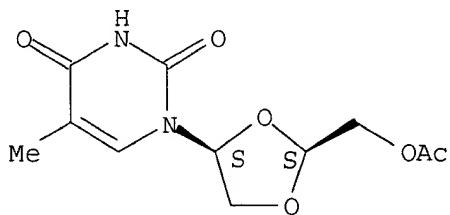
CN 2(1H)-Pyrimidinone, 4-amino-1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)



RN 126652-45-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, rel- (9CI) (CA INDEX NAME)

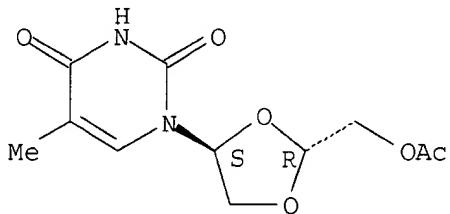
Relative stereochemistry.



RN 126652-46-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, rel- (9CI) (CA INDEX NAME)

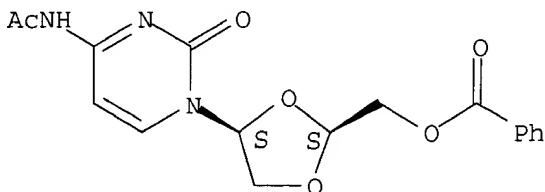
Relative stereochemistry.



RN 126652-51-5 CAPLUS

CN Acetamide, N-[1-[(2R,4R)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

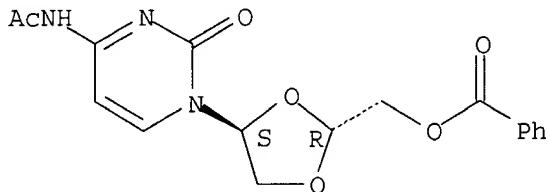


RN 126652-52-6 CAPLUS

09/976,249

CN Acetamide, N-[1-[(2R,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:322909 CAPLUS

DOCUMENT NUMBER: 131:110898

TITLE: Structure-Activity Relationships of L-Dioxolane Uracil Nucleosides as Anti-Epstein Barr Virus Agents

AUTHOR(S): Lin, Ju-Sheng; Kira, Toshihiko; Gullen, Elizabeth; Choi, Yongseok; Qu, Fucheng; Chu, Chung K.; Cheng, Yung-Chi

CORPORATE SOURCE: Department of Pharmacology, Yale University School of Medicine, New Haven, CT, 06510, USA

SOURCE: Journal of Medicinal Chemistry (1999), 42(12), 2212-2217

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of 1,3-dioxolanyluracil analogs was prep'd. from the dioxolane intermediates and their anti-Epstein Barr virus (anti-EBV) activities were detd. The potency of L-dioxolane uracil nucleosides against EBV replication is dependent on the substituents at the 5-position in the following decreasing order: I > Br > Cl > CH3 > CF3 > F. The most active and selective analog was the iodo deriv. (L-I-OddU) with an EC50 value of 0.03 .mu.M and an EC90 value of 0.16 .mu.M. There was no cytotoxicity or depletion of mitochondrial DNA in cells after exposure to L-I-OddU at 50 .mu.M. The action against EBV replication in H1 cells is time-dependent, and EBV DNA in cells treated with L-I-OddU could rebound to pretreatment levels once the drug was removed. In view of the potent antiviral activity plus favorable toxicity profiles, L-I-OddU may be potentially useful for the treatment of EBV-related infectious diseases as well as for delaying the onset or decreasing the incidence of EBV-assocd. cancers.

IT 232282-95-0P 232282-98-3P 232282-99-4P

232283-00-0P 232283-01-1P 232283-03-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

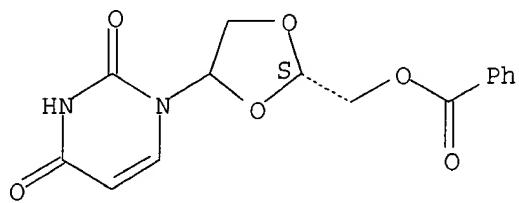
(prepn. and structure-activity relationships of L-dioxolane uracil nucleosides as anti-Epstein Barr virus agents)

RN 232282-95-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

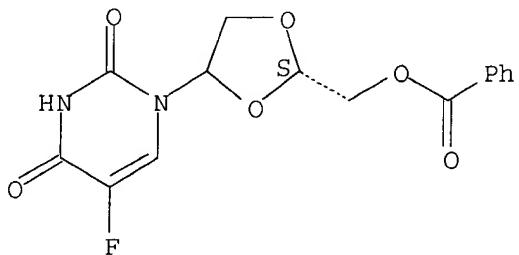
09/976,249



RN 232282-98-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)

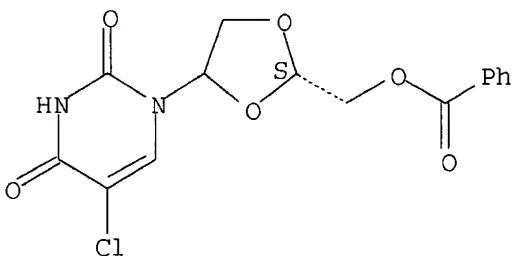
Absolute stereochemistry.



RN 232282-99-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-chloro- (9CI) (CA INDEX NAME)

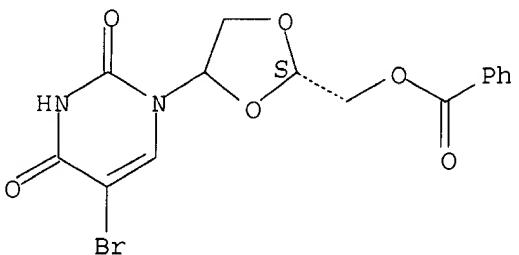
Absolute stereochemistry.



RN 232283-00-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-bromo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

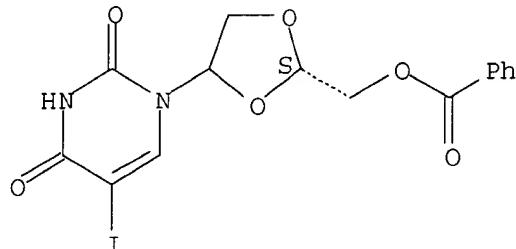


RN 232283-01-1 CAPLUS

09/976,249

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-iodo- (9CI) (CA INDEX NAME)

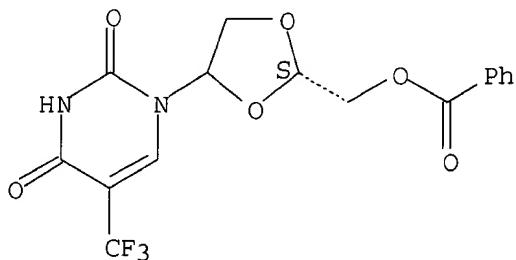
Absolute stereochemistry.



RN 232283-03-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:650036 CAPLUS

DOCUMENT NUMBER: 129:285981

TITLE: Cytosine compounds and methods for the treatment of cancer

INVENTOR(S): Chu, Chung K.; Cheng, Yung-Chi

PATENT ASSIGNEE(S): University of Georgia Research Foundation, USA; Yale University

SOURCE: U.S., 16 pp., Cont.-in-part of U.S. Ser. No. 937,845.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-----------------|----------|
| US 5817667 | A | 19981006 | US 1994-301298 | 19940906 |
| IL 115156 | A1 | 20000716 | IL 1995-115156 | 19950904 |
| CA 2199117 | AA | 19960314 | CA 1995-2199117 | 19950905 |
| WO 9607413 | A1 | 19960314 | WO 1995-US11464 | 19950905 |
| W: | AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, US, UZ, VN | | | |
| RW: | KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, | | | |

| SN, TD, TG | | | |
|-----------------------------------------------------------------------|----|-----------------|-------------------------|
| AU 9535862 | A1 | 19960327 | AU 1995-35862 19950905 |
| AU 704977 | B2 | 19990513 | |
| EP 781136 | A1 | 19970702 | EP 1995-933071 19950905 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | |
| CN 1160351 | A | 19970924 | CN 1995-195621 19950905 |
| BR 9508886 | A | 19971230 | BR 1995-8886 19950905 |
| HU 77172 | A2 | 19980302 | HU 1997-1687 19950905 |
| JP 10506385 | T2 | 19980623 | JP 1995-509705 19950905 |
| RU 2168995 | C2 | 20010620 | RU 1997-105381 19950905 |
| ZA 9507483 | A | 19970606 | ZA 1995-7483 19950906 |
| FI 9700918 | A | 19970502 | FI 1997-918 19970304 |
| BG 63122 | B1 | 20010430 | BG 1997-101284 19970305 |
| US 6063787 | A | 20000516 | US 1998-809007 19980126 |
| NZ 335013 | A | 20000728 | NZ 1999-335013 19990401 |
| PRIORITY APPLN. INFO.: | | | |
| | | US 1992-937845 | A2 19921019 |
| | | US 1994-301298 | A 19940906 |
| | | US 1995-390633 | A 19950217 |
| | | WO 1995-EP11464 | W 19950905 |
| | | WO 1995-US11464 | W 19950905 |
| | | NZ 1999-293422 | A1 19990401 |

OTHER SOURCE(S): MARPAT 129:285981

AB (-)- (2S,4S)-1-(2-Hydroxymethyl-1,3-dioxolan-4-yl)cytosine (also referred to as (-)-OddC; prepn. described) and related compds., and use thereof to treat cancer in animals, including humans, are disclosed.

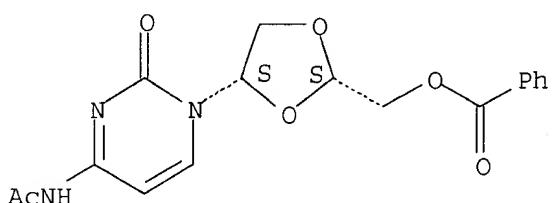
IT 145414-63-7P 145414-64-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction; cytosine compds. and methods for the treatment of cancer)

RN 145414-63-7 CAPLUS

CN Acetamide, N-[1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

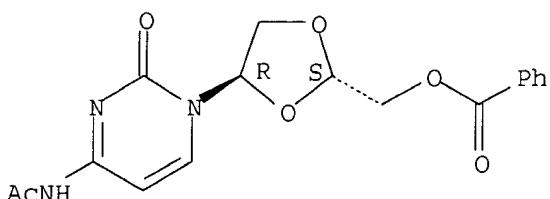
Absolute stereochemistry. Rotation (-).



RN 145414-64-8 CAPLUS

CN Acetamide, N-[1-[(2S,4R)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

115

THERE ARE 115 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

09/976,249

FORMAT

L5 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:396341 CAPLUS
DOCUMENT NUMBER: 127:81720
TITLE: Syntheses and antiviral activities of 1,3-dioxolanyl-, 1,3-oxathiolanyl- and 1,3-dithiolanyl nucleosides with 2-hydroxymethyl substituents
AUTHOR(S): Chun, Moon Woo; Shin, Dae Hong; Moon, Hyung Ryong; Lee, Jeewoo; Park, Hokoon; Jeong, Lak Shin
CORPORATE SOURCE: College of Pharmacy, Seoul National University, Seoul, 151-742, S. Korea
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997) 7(11), 1475-1480
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Novel 1,3-dioxolanyl-, 1,3-oxathiolanyl- and 1,3-dithiolanyl nucleosides with 2-hydroxymethyl substituents were each synthesized with good yields through the condensation of dinucleophiles (oxygen and/or sulfur) with 1,3-dibenoxy-2-propanone Me ketal as a key step.

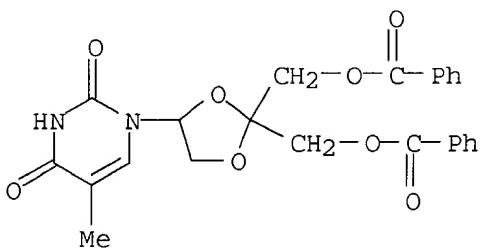
IT 191859-43-5P 191859-44-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and antiviral activities of dioxolanyl, oxathiolanyl and dithiolanyl nucleosides with hydroxymethyl substituents)

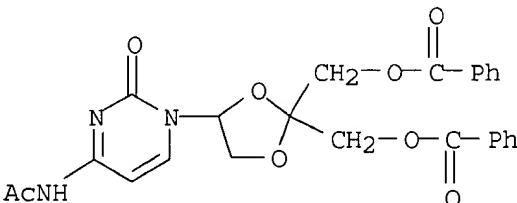
RN 191859-43-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,2-bis[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl- (9CI) (CA INDEX NAME)



RN 191859-44-6 CAPLUS

CN Acetamide, N-[1-[2,2-bis[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:241310 CAPLUS

DOCUMENT NUMBER: 122:122552

TITLE: Inhibitory activities of herpes simplex viruses type 1

and 2 and human cytomegalovirus by stereoisomers of 1'-deoxy-3'-oxa-5(E)-(2-bromovinyl)uridines and their 4'-thio analogs

AUTHOR(S): Bednarski, Krzysztof; Dixit, Dilip M.; Wang, Wei; Evans, Colleen A.; Jin, Haolun; Yuen, Leonard; Mansour, Tarek S.

CORPORATE SOURCE: BioChem Therapeutic Inc., Laval, QC, H7V 4A7, Can.

SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4 (22), 2667-72

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Two series of optically pure 2,4-disubstituted 1,3-dioxolanes and 1,3-oxathiolane nucleosides contg. (E)-5-(2-bromovinyl)uracil were synthesized and assayed for activity against HSV-1, HSV-2 and HCMV replication in vitro. The B-L-(2S,4S) dioxolane nucleoside 10 displayed significant activity against HSV-1, whereas the B-D (2R,4R) oxathiolane 14 demonstrated potent activity against HSV-2. The .alpha.-L (2S,4R) diololane 11 and oxathiolane 17 were moderately active against HSV-1 and HSV-2, resp.

IT 160916-26-7P 161059-78-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

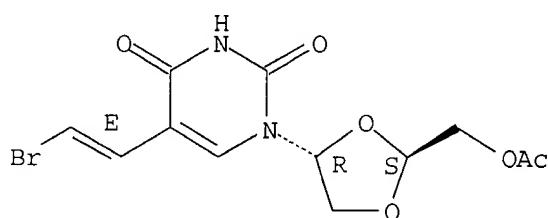
(inhibitory activities of herpes simplex viruses type 1 and 2 and human cytomegalovirus by stereoisomers of deoxy(2-bromovinyl)uridines and their thio analogs)

RN 160916-26-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-(2-bromoethenyl)-, [2S-[2.alpha.,4.beta.(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

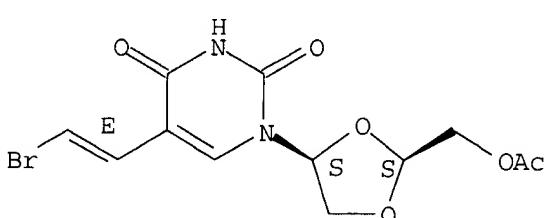


RN 161059-78-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-(2-bromoethenyl)-, [2S-[2.alpha.,4.alpha.(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



09/976,249

DOCUMENT NUMBER:

118:213427

TITLE:

L-.beta.-(2S,4S)- and L-.alpha.-(2S,4R)-dioxolanyl nucleosides as potential anti-HIV agents: asymmetric synthesis and structure-activity relationships

AUTHOR(S):

Kim, Hea O.; Schinazi, Raymond F.; Shanmuganathan, Kirupathey; Jeong, Lak S.; Beach, J. Warren; Nampalli, Satyanarayana; Cannon, Deborah L.; Chu, Chung K.

CORPORATE SOURCE:

Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA

SOURCE:

Journal of Medicinal Chemistry (1993), 36(5), 519-28

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

Journal

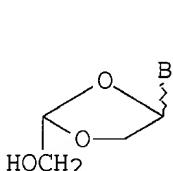
LANGUAGE:

English

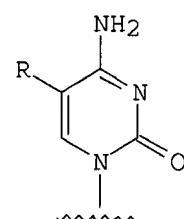
OTHER SOURCE(S):

CASREACT 118:213427

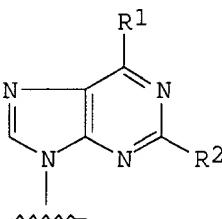
GI



I



X=



Y=

AB Various enantiomerically pure L-(2S,4S)- and L-(2S,4R)-dioxolanyl nucleosides, e.g. I (B = X, Y, R = H, Me, halo, R1 = Cl, NH2, OMe, R2 = H; R1 = NH2, R2 = Cl, NH2), have been prepd. and evaluated against HIV-1 in human peripheral blood mononuclear (PBM) cells. Among the compd. synthesized, (-)-(2S,4S)-I (B = X, R = F) was the most potent anti-HIV activity (EC50 = 0.0012 .mu.M) although it was toxic (EC50 = 10.0 .mu.M). It is interesting to note that (+)-(2S,4R)-I (B = X, R = F) exhibited an excellent anti-HIV activity (EC50 = 0.063 .mu.M) without cytotoxicity up to 100 .mu.M in PBM cell.

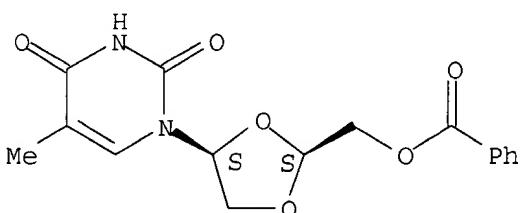
IT 145354-83-2P 145354-84-3P 146996-25-0P
146996-26-1P 146996-27-2P 146996-28-3P
146996-29-4P 146996-30-7P 146996-31-8P
146996-32-9P 146996-33-0P 146996-34-1P
146996-35-2P 146996-36-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and debenzylation of)

RN 145354-83-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



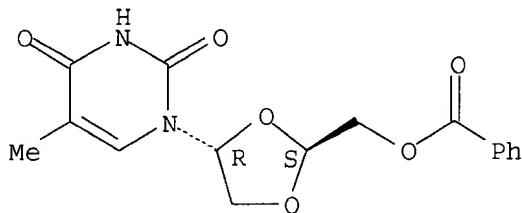
RN 145354-84-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-

09/976, 249

methyl-, (2S-trans)- (9CI) (CA INDEX NAME)

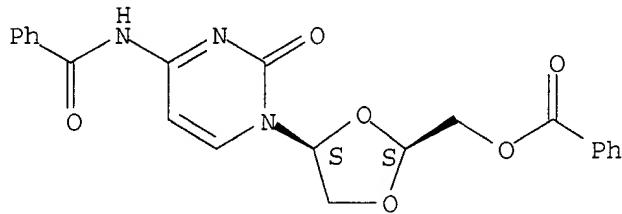
Absolute stereochemistry.



RN 146996-25-0 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

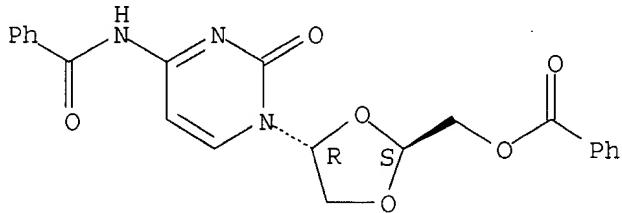
Absolute stereochemistry.



RN 146996-26-1 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

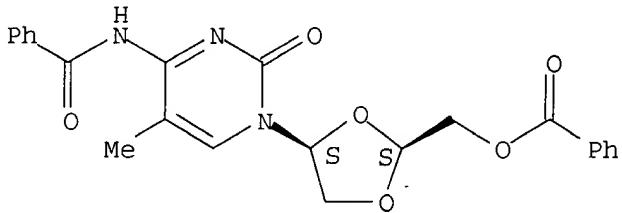
Absolute stereochemistry.



RN 146996-27-2 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-5-methyl-2-oxo-4-pyrimidinyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

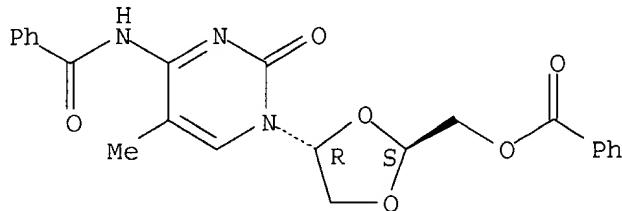


RN 146996-28-3 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-5-methyl-2-oxo-4-pyrimidinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

09/976,249

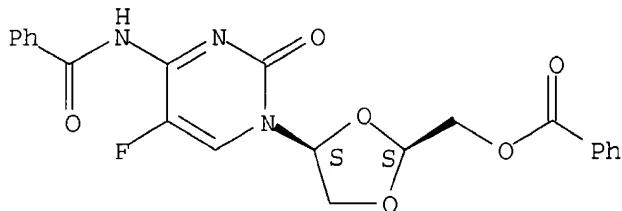
Absolute stereochemistry.



RN 146996-29-4 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-fluoro-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

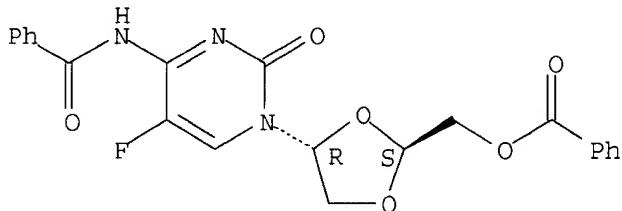
Absolute stereochemistry.



RN 146996-30-7 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-fluoro-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

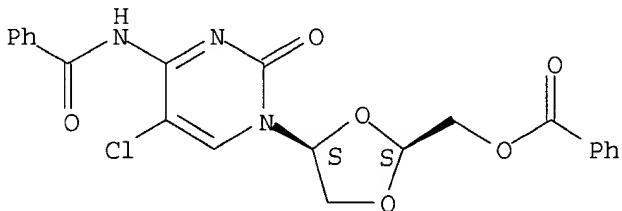
Absolute stereochemistry.



RN 146996-31-8 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-chloro-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

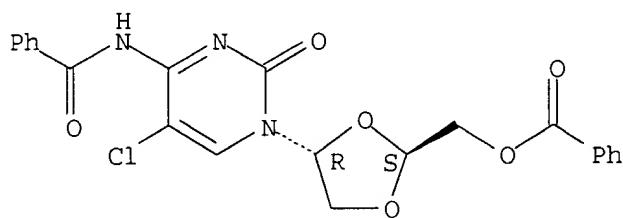


RN 146996-32-9 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-chloro-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

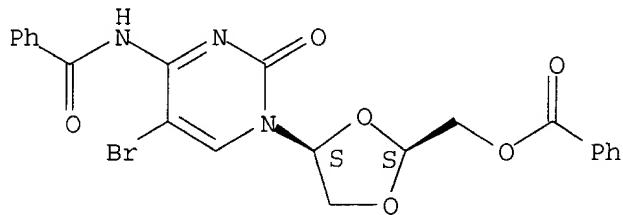
09/976, 249



RN 146996-33-0 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-bromo-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

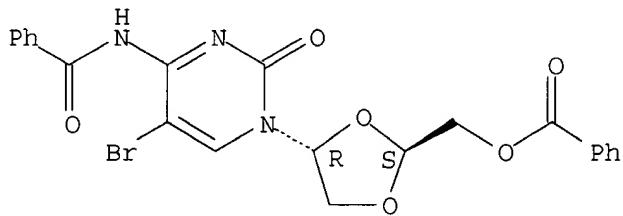
Absolute stereochemistry.



RN 146996-34-1 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-bromo-1,2-dihydro-2-oxo-4-pyrimidinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

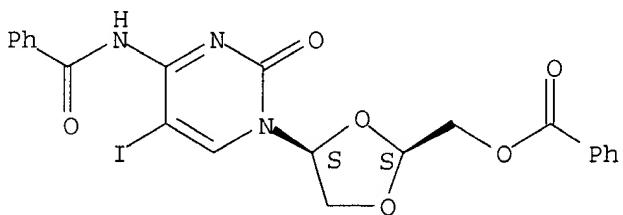
Absolute stereochemistry.



RN 146996-35-2 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-5-iodo-2-oxo-4-pyrimidinyl]-, (2S-cis)- (9CI) (CA INDEX NAME)

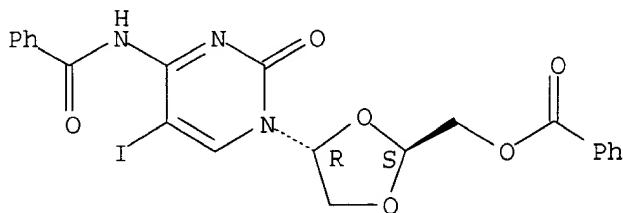
Absolute stereochemistry.



RN 146996-36-3 CAPLUS

CN Benzamide, N-[1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-5-iodo-2-oxo-4-pyrimidinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:539657 CAPLUS

DOCUMENT NUMBER: 119:139657

TITLE: The synthesis and anti-HIV activity of pyrimidine dioxolanyl nucleosides

AUTHOR(S): Wilson, Lawrence J.; Choi, Woo Baeg; Spurling, Travis; Liotta, Dennis C.; Schinazi, Raymond F.; Cannon, Deborah; Painter, George R.; St. Clair, Marty; Furman, Phillip A.

CORPORATE SOURCE: Dep. Chem., Emory Univ., Atlanta, GA, 30322, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(2), 169-74

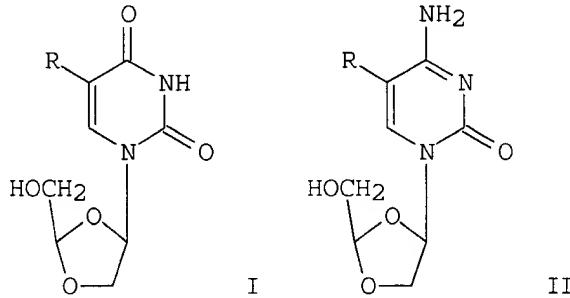
DOCUMENT TYPE: CODEN: BMCL8; ISSN: 0960-894X

LANGUAGE: Journal

OTHER SOURCE(S): English

CASREACT 119:139657

GI



AB A series of 5-substituted uracil and cytosine dioxolanyl nucleosides, e.g. I and II (R = H, Br, Cl, F, iodo), were synthesized as potential anti-HIV agents. II (R = H, F) were extremely potent in acutely infected human lymphocytes.

IT 149620-13-3P 149620-14-4P 149620-15-5P

149620-16-6P 149620-17-7P 149620-18-8P

149620-19-9P 149620-23-5P 149620-24-6P

149620-25-7P 149620-26-8P 149620-27-9P

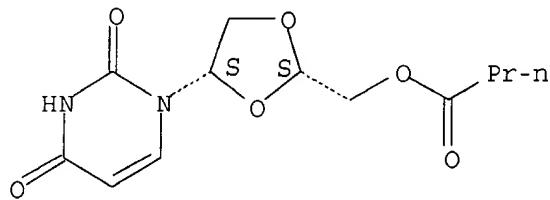
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and debutyrylation of)

RN 149620-13-3 CAPLUS

CN Butanoic acid, [4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

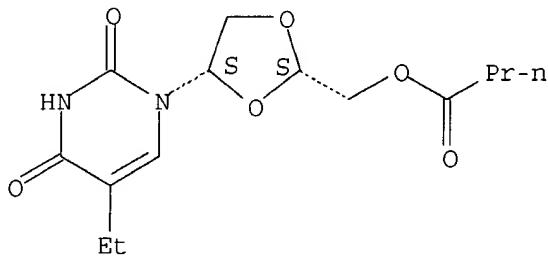
09/976,249



RN 149620-14-4 CAPLUS

CN Butanoic acid, [4-(5-ethyl-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

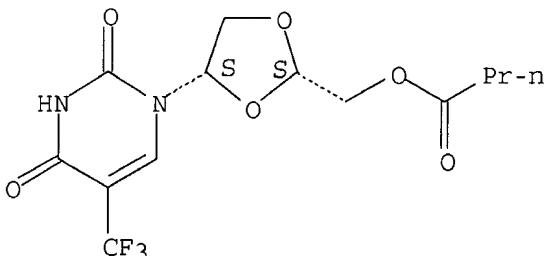
Relative stereochemistry.



RN 149620-15-5 CAPLUS

CN Butanoic acid, [4-(3,4-dihydro-2,4-dioxo-5-(trifluoromethyl)-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

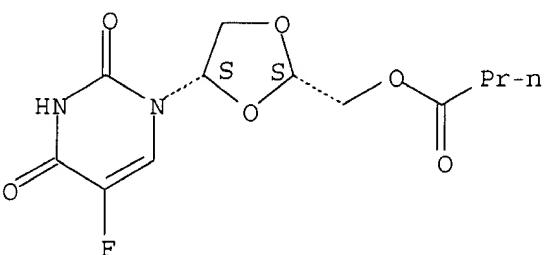
Relative stereochemistry.



RN 149620-16-6 CAPLUS

CN Butanoic acid, [4-(5-fluoro-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

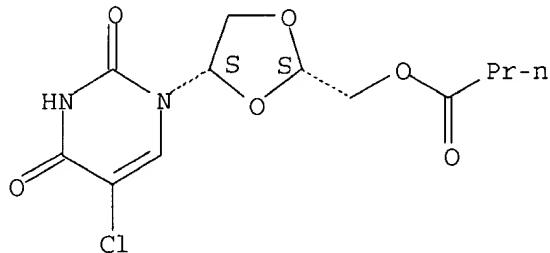


RN 149620-17-7 CAPLUS

09/976, 249

CN Butanoic acid, [4-(5-chloro-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

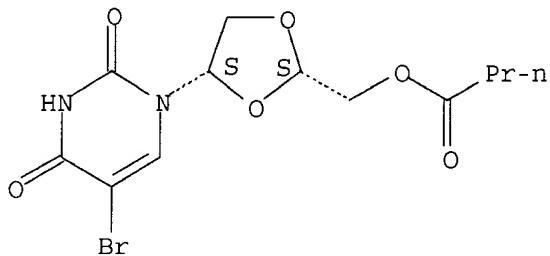
Relative stereochemistry.



RN 149620-18-8 CAPLUS

CN Butanoic acid, [4-(5-bromo-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

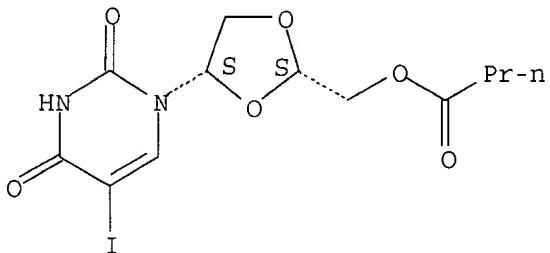
Relative stereochemistry.



RN 149620-19-9 CAPLUS

CN Butanoic acid, [4-(3,4-dihydro-5-iodo-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

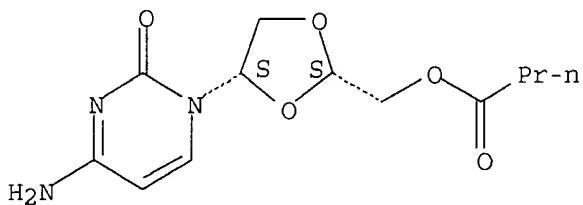


RN 149620-23-5 CAPLUS

CN Butanoic acid, [4-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

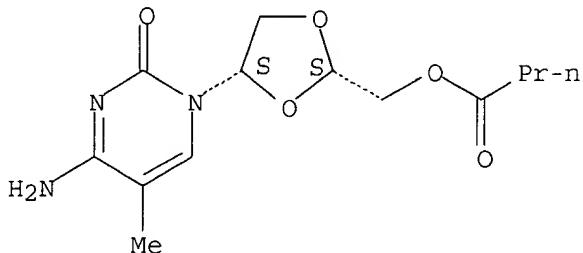
09/976,249



RN 149620-24-6 CAPLUS

CN Butanoic acid, [4-(4-amino-5-methyl-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

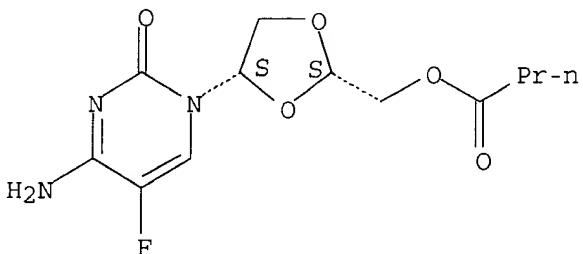
Relative stereochemistry.



RN 149620-25-7 CAPLUS

CN Butanoic acid, [4-(4-amino-5-fluoro-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

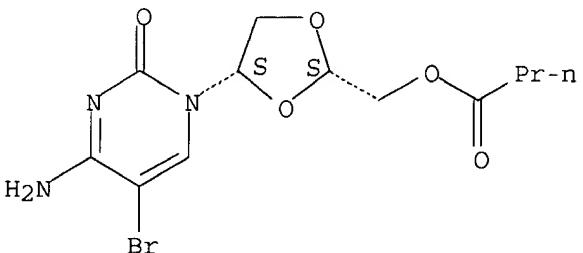
Relative stereochemistry.



RN 149620-26-8 CAPLUS

CN Butanoic acid, [4-(4-amino-5-bromo-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

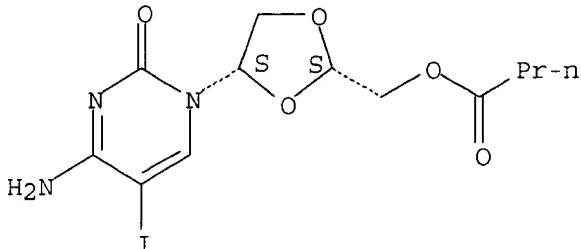


RN 149620-27-9 CAPLUS

09/976,249

CN Butanoic acid, [4-(4-amino-5-iodo-2-oxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:486018 CAPLUS
DOCUMENT NUMBER: 119:86018
TITLE: Treatment or prevention of hepatitis B virus infection with nucleoside analogs
INVENTOR(S): Cheng, Yung Chi; Chu, Chung K.; Kim, Hea O.; Shanmuganathan, Kirupatheyv
PATENT ASSIGNEE(S): Yale University, USA; University of Georgia Research Foundation, Inc
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO | KIND | DATE | APPLICATION NO. | DATE |
|------------------------------------------------------------|------|----------|-----------------|----------|
| WO 9218517 | A1 | 19921029 | WO 1992-US3144 | 19920416 |
| W: CA, JP, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE | | | | |
| PRIORITY APPLN. INFO.: | | | US 1991-686617 | 19910417 |
| | | | US 1991-718806 | 19910621 |
| | | | US 1991-785545 | 19911031 |

AB Hepatitis B virus infection is treated or prevented by administration of (-)- or (.-)-3'-thia-2',3'-dideoxycytidine, (.-)-I, their 5-fluoro derivs., or (-)-L- or (.-)-beta.-dioxolanylcytosine or their salts or esters. The preferred dose of I in humans is 2-10 mg/kg/day. Thus, I and 5-fluoro-3'-thia-2',3'-dideoxycytidine at 1.0 .mu.M inhibited replication of hepatitis B virus in 2.2.15 cells without affecting mitochondrial DNA synthesis. (.-)-I was converted to (-)-I by selective deamination of (+)-I with deoxycytidine deaminase.

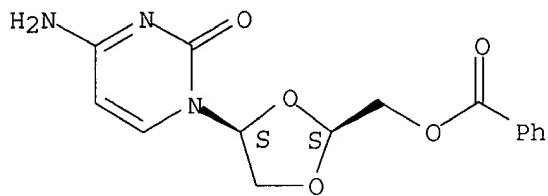
IT 145416-35-9P 145416-36-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and debenzylation of)

RN 145416-35-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

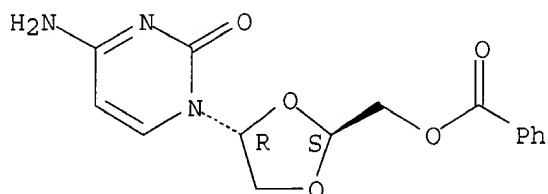
09/976,249



RN 145416-36-0 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:60030 CAPLUS

DOCUMENT NUMBER: 118:60030

TITLE: Potent anti-HIV and anti-HBV activities of (-)-L-.beta.-dioxolane-C and (+)-L-.beta.-dioxolane-T and their asymmetric syntheses

AUTHOR(S): Kim, Hea O.; Shanmuganathan, Kirupathevy; Alves, Antonio J.; Jeong, Lak S.; Beach, J. Warren; Schinazi, Raymond F.; Chang, Chien Neng; Cheng, Yung Chi; Chu, Chung K.

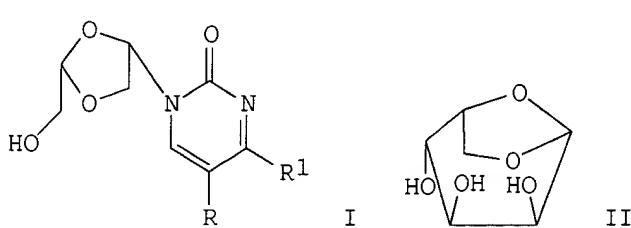
CORPORATE SOURCE: Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA
SOURCE: Tetrahedron Letters (1992), 33(46), 6899-902

DOCUMENT TYPE: CODEN: TELEAY; ISSN: 0040-4039

LANGUAGE: Journal

OTHER SOURCE(S): English

GI: CASREACT 118:60030



AB The asym. syntheses of (+)-L-.beta.-dioxolane-T (I; R = Me, R1 = OH) and (-)-L-.beta.-dioxolane-C (I; R= H, R1 = NH2) were accomplished starting from 1,6-anhydro-L-.beta.-gulopyranose (II), and their anti-HIV and anti-HBV activities were evaluated in human PBM cells, CEM cells and 2.2.15 cells, resp.

IT 145354-83-2P 145354-84-3P 145414-63-7P
145414-64-8P

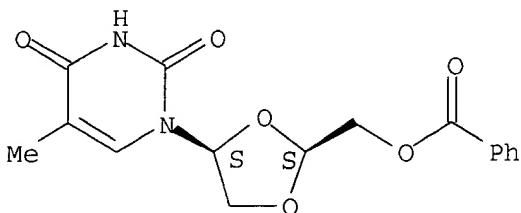
09/976,249

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and Zemplen deacylation of)

RN 145354-83-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, (2S-cis)- (9CI) (CA INDEX NAME)

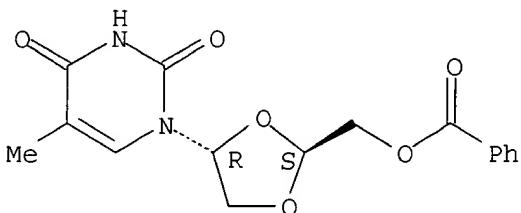
Absolute stereochemistry.



RN 145354-84-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, (2S-trans)- (9CI) (CA INDEX NAME)

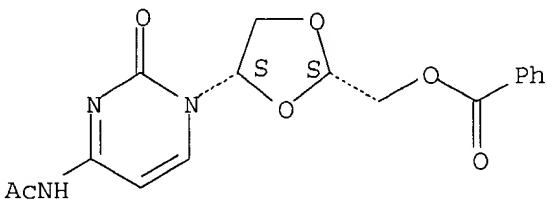
Absolute stereochemistry.



RN 145414-63-7 CAPLUS

CN Acetamide, N-[1-[(2S,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

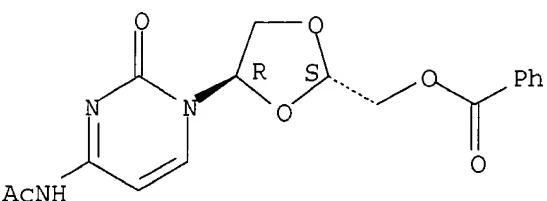
Absolute stereochemistry. Rotation (-).



RN 145414-64-8 CAPLUS

CN Acetamide, N-[1-[(2S,4R)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

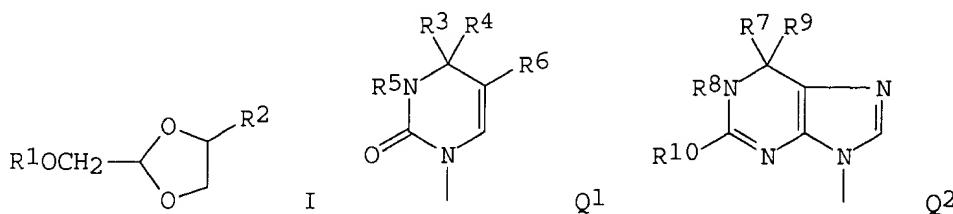
Absolute stereochemistry. Rotation (+).



L5 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:198359 CAPLUS
DOCUMENT NUMBER: 112:198359
TITLE: Purinyl- and pyrimidinyl-1,3-dioxolanes as
anti-retrovirals
INVENTOR(S): Belleau, Bernard; Dixit, Dilop; Nguyen Ba Nghe
PATENT ASSIGNEE(S): IAF Biochem International Inc., Can.
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------------------------|------|----------------|-----------------|----------|
| EP 337713 | A2 | 19891018 | EP 1989-303537 | 19890411 |
| EP 337713 | A3 | 19901122 | | |
| EP 337713 | B1 | 19951018 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| DK 8901720 | A | 19891012 | DK 1989-1720 | 19890410 |
| CA 1339609 | A1 | 19971230 | CA 1989-596218 | 19890410 |
| AU 8932644 | A1 | 19891012 | AU 1989-32644 | 19890411 |
| AU 631786 | B2 | 19921210 | | |
| JP 01316375 | A2 | 19891221 | JP 1989-89893 | 19890411 |
| JP 3085675 | B2 | 20000911 | | |
| ZA 8902645 | A | 19891227 | ZA 1989-2645 | 19890411 |
| IL 89921 | A1 | 19930818 | IL 1989-89921 | 19890411 |
| AT 129247 | E | 19951115 | AT 1989-303537 | 19890411 |
| ES 2078234 | T3 | 19951216 | ES 1989-303537 | 19890411 |
| US 5270315 | A | 19931214 | US 1991-666045 | 19910307 |
| US 6350753 | B1 | 20020226 | US 1998-163374 | 19980930 |
| US 2003087918 | A1 | 20030508 | US 2002-73116 | 20020212 |
| PRIORITY APPLN. INFO.: | | | | |
| | | US 1988-179615 | A | 19880411 |
| | | US 1989-308101 | A2 | 19890208 |
| | | US 1990-546676 | A3 | 19900629 |
| | | US 1990-564160 | B1 | 19900807 |
| | | US 1991-666045 | A3 | 19910307 |
| | | US 1994-306830 | A1 | 19940915 |
| | | US 1998-163374 | A1 | 19980930 |

OTHER SOURCE(S) : MARPAT 112:198359
GI



09/976,249

= NH₂; R17R9 = O and R8 = H and R10 = NH₂) are prep'd. for treatment of AIDS. trans-I (R1 = H; R2 = Q1; R3 = NH₂; R4R5 = bond; R6 = H) (prep'd. from 2-chloromethyl-4-hydroxymethyl-1,3-dioxolane with 6 steps) at 10 μ M reduced reverse transcriptase activity in a HIV-I infected medium to 4608 cpm after 8 days, vs 633 cpm for AZT at 20 μ M.

IT 126652-51-5P 126652-52-6P

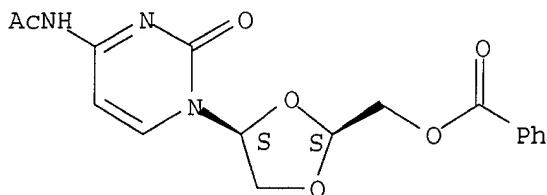
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of anti-retrovirals)

RN 126652-51-5 CAPPLUS

CN Acetamide, N-[1-[(2R,4R)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, rel- (9CI) (CA INDEX NAME)

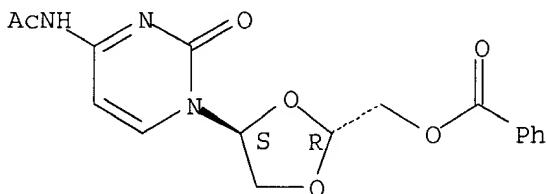
Relative stereochemistry.



RN 126652-52-6 CAPPLUS

CN Acetamide, N-[1-[(2R,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



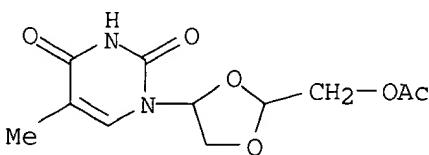
IT 126652-29-7P 126652-31-1P 126652-45-7P

126652-46-8P 126652-55-9P 126652-56-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as anti-retroviral)

RN 126652-29-7 CAPPLUS

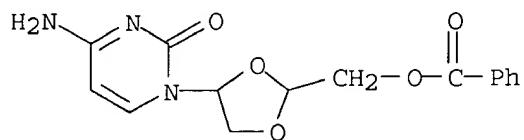
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl- (9CI) (CA INDEX NAME)



RN 126652-31-1 CAPPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]- (9CI) (CA INDEX NAME)

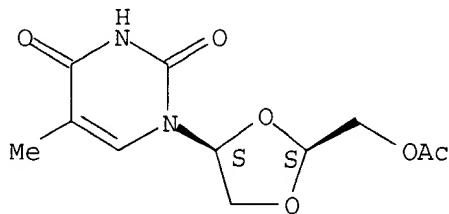
09/976, 249



RN 126652-45-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, rel- (9CI) (CA INDEX NAME)

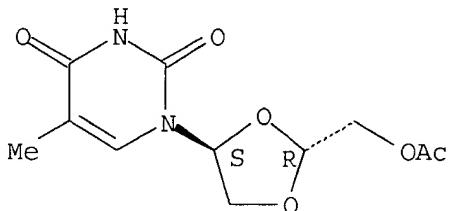
Relative stereochemistry.



RN 126652-46-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S)-2-[(acetyloxy)methyl]-1,3-dioxolan-4-yl]-5-methyl-, rel- (9CI) (CA INDEX NAME)

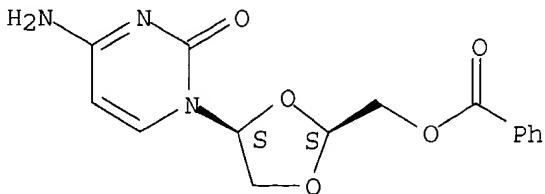
Relative stereochemistry.



RN 126652-55-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2R,4R)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

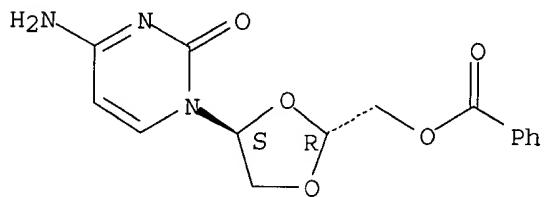


RN 126652-56-0 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[(2R,4S)-2-[(benzoyloxy)methyl]-1,3-dioxolan-4-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/976,249



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(FILE 'HOME' ENTERED AT 10:58:22 ON 29 MAY 2003)

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L1 STRUCTURE uploaded

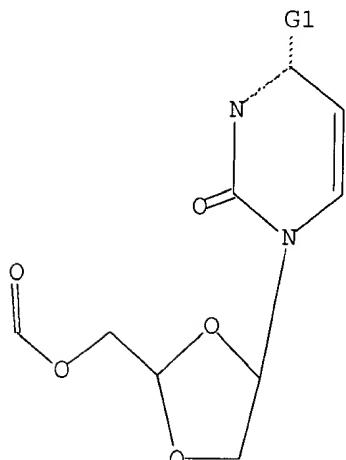
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L3 181 S L1 FULL

FILE 'CAPLUS' ENTERED AT 11:01:08 ON 29 MAY 2003

L4 13 S L3
L5 13 DUP REM L4 (0 DUPLICATES REMOVED)

=> d 11

L1 HAS NO ANSWERS
L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.